STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 115489

TO: Dwayne C Jones
Location: REM/4C70
Art Unit: 1614
Friday, February 27, 2004

Case Serial Number: 10/613798

From: Barb O'Bryen
Location: Biotech-Chem Library
Remsen E01A69
Phone: 571-272-2518

barbara.obryen@uspto.gov

Search Notes

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

Back only please

SEARCH REQUEST FORM

10/115489

Requestor's Name: Dwayne C. Jones

Serial Number: 10/[illegible], 747

Date: 27FEB04 Phone: 2-0578 Art Unit: 1644

40,70

MEG

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

Please search claims 1, 4, 5 and 6

STAFF USE ONLY

Date completed: 2-27-04

Searcher: [illegible]

Terminal time: 29

Elapsed time: 25

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: _____

Search Site

_____ STIC

_____ CM-1

_____ Pre-S

Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

4 Structure

_____ Bibliographic

Vendors

_____ IG Suite

479 STN

_____ Dialog

_____ APS

_____ Geninfo

_____ SDC

_____ DARC/Questel

_____ Other

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=> fil reg; d stat que l7; fil capl; d que nos l8; fil uspatf; d que nos l9
FILE 'REGISTRY' ENTERED AT 16:13:14 ON 27 FEB 2004
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   25 FEB 2004  HIGHEST RN 654632-96-9
DICTIONARY FILE UPDATES:  25 FEB 2004  HIGHEST RN 654632-96-9

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L3                STR
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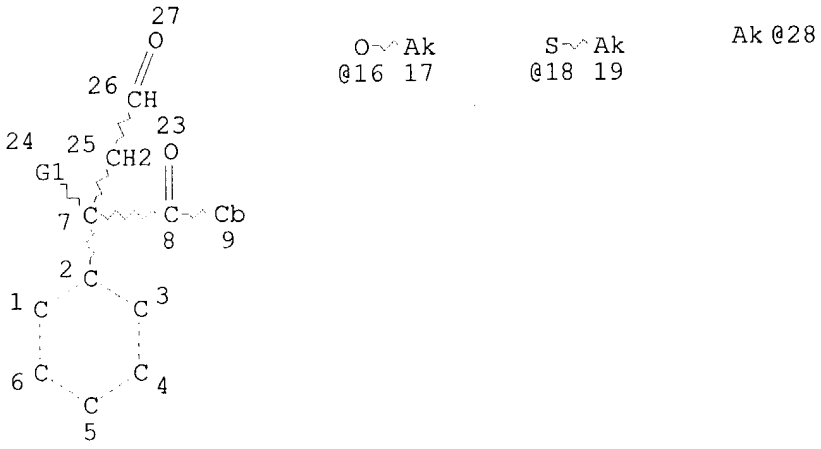


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CONNECT IS E1  RC AT  17
CONNECT IS E1  RC AT  19
CONNECT IS E1  RC AT  28
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  19

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED  18781 ITERATIONS                    16 ANSWERS
SEARCH TIME: 00.00.01
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FILE 'CAPLUS' ENTERED AT 16:13:14 ON 27 FEB 2004
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FILE COVERS 1907 - 27 Feb 2004 VOL 140 ISS 10
FILE LAST UPDATED: 26 Feb 2004 (20040226/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L3 STR
L7 16 SEA FILE=REGISTRY SSS FUL L3
L8 15 SEA FILE=CAPLUS ABB=ON L7

FILE 'USPATFULL' ENTERED AT 16:13:14 ON 27 FEB 2004

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 26 Feb 2004 (20040226/PD)
FILE LAST UPDATED: 26 Feb 2004 (20040226/ED)
HIGHEST GRANTED PATENT NUMBER: US6698023
HIGHEST APPLICATION PUBLICATION NUMBER: US2004040063
CA INDEXING IS CURRENT THROUGH 26 Feb 2004 (20040226/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 26 Feb 2004 (20040226/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<

>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L9           16 SEA FILE=USPATFULL ABB=ON  L7


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FILE 'CAPLUS' ENTERED AT 16:13:19 ON 27 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 16:13:19 ON 27 FEB 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L9
L11          31 DUP REM L8 L9 (0 DUPLICATES REMOVED)
                ANSWERS '1-15' FROM FILE CAPLUS
                ANSWERS '16-31' FROM FILE USPATFULL

=> d ibib ed abs hitstr l11 1-31; fil cao; d que nos l10

L11  ANSWER 1 OF 31  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2003:1006971  CAPLUS
DOCUMENT NUMBER:          140:59660
TITLE:                    Preparation of disubstituted diazacycloalkanes as
                          serotonin 5-HT1A ligands for treatment of
                          neuromuscular dysfunction of the lower urinary tract.
INVENTOR(S):              Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Testa,
                          Rodolfo
PATENT ASSIGNEE(S):       Recordati S.A., Switz.; Recordati Industria Chimica e
                          Farmaceutica S.p.A.
SOURCE:                   PCT Int. Appl., 54 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.         KIND  DATE          APPLICATION NO.   DATE
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     WO 2003106444       A1   20031224      WO 2003-EP6280    20030616
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                    IT 2002-MI1328   A  20020614
OTHER SOURCE(S):          MARPAT 140:59660
ED   Entered STN:  26 Dec 2003
GI
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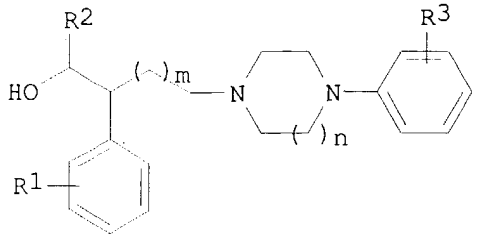


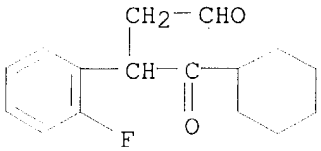
I

AB Title compds. I; [R1 = halo; R2 = C3-8 cycloalkyl; R3 = C1-4 alkoxy, haloalkoxy; m, n = 1, 2], were prepd. for treatment of urinary urgency, overactive bladder, increased urinary frequency, decreased urinary compliance (decreased bladder storage capacity), cystitis (including interstitial cystitis), incontinence, urine leakage, enuresis, dysuria, urinary hesitancy and difficulty in emptying the bladder. I and their enantiomers, diastereoisomers, N-oxides, polymorphs, solvates and pharmaceutically acceptable salts are useful in the treatment of patients with neuromuscular dysfunction of the lower urinary tract and diseases related to 5-HT1A receptor. Thus, 4-cyclohexyl-4-oxo-3-(2-fluorophenyl)butyraldehyde (prepn. given), 1-(2-methoxyphenyl)piperazine HCl, Na triacetoxyborohydride and CH2Cl2 were stirred together at r.t. for 1 h and kept overnight to give 1-[4-cyclohexyl-4-oxo-3-(2-fluorophenyl)butyl]-4-(2-methoxyphenyl)piperazine. The latter was stirred with NaBH4 in MeOH to give (SR,RS)- and (RR,SS)-1-cyclohexyl-4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-(2-fluorophenyl)butan-1-ol. The (SR,RS)-diastereomer bound to 5-HT1A receptors with Ki = 0.13 nM.

IT **636598-27-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hydroxyalkyldiazacycloalkanes as serotonin ligands for treatment of neuromuscular dysfunction of the lower urinary tract)

RN 636598-27-1 CAPLUS

CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-2-fluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1006970 CAPLUS
DOCUMENT NUMBER: 140:42211
TITLE: Preparation of phenylalkylpiperazines for treatment of diseases related to 5-HT1A receptor activity.
INVENTOR(S): Leonardi, Amadeo; Motta, Gianni; Riva, Carlo; Poggesi, Elena
PATENT ASSIGNEE(S): Recordati S.A., Switz.; Recordati Industria Chimica e Farmaceutica S.p.A.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

Searched by Barb O'Bryen, STIC 571-272-2518

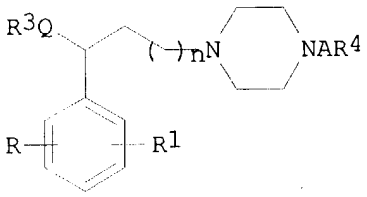
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106443	A1	20031224	WO 2003-EP6289	20030616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IT 2002-MI1327 A 20020614
OTHER SOURCE(S): MARPAT 140:42211
ED Entered STN: 26 Dec 2003
GI



I

AB Title compds. [I; R = H, halo, alkyl, alkoxy, alkylthio, OH, alkenyl, alkynyl, haloalkyl, aminoalkyl, cyano, alkylsulfonyl, dialkylaminosulfonyl, etc.; R1 = H, (R-substituted) cycloalkyl, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heterocyclyloxy, heterocycloalkyl, heterocycloalkoxy; Q = CO, CH(OH), CH(OR2); R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl; R4 = (R-substituted) aryl, heterocyclyl; n = 1, 2; A = bond, CH2, CH2CH2], were prepd. for treatment of CNS disorders, for reducing the frequency of bladder contractions, and for treating neuromuscular dysfunction of the lower urinary tract. Thus, 4-cyclohexyl-3-(2-fluorophenyl)-4-methoxybutyraldehyde (prepn. given), 1-[2-(2,2,2-trifluoroethoxy)phenyl]piperazine hydrochloride, Na triacetoxyborohydride, AcOH and CH2Cl2 were stirred together at room temp. for 1h, and kept overnight to give 1-[4-cyclohexyl-3-(2-fluorophenyl)-4-methoxybutyl]-4-[2-(2,2,2-trifluoroethoxy)phenyl]piperazine. The latter bound to 5-HT1A receptors with Ki = 1.45 nM.

IT **636598-41-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of phenylalkylpiperazines for treatment of diseases related to 5-HT1A receptor activity)

RN 636598-41-9 CAPLUS
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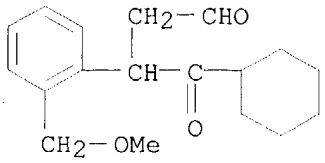

IT **636598-06-6P 636598-22-6P 636598-26-0P 636598-27-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylalkylpiperazines for treatment of diseases related to 5-HT1A receptor activity)

RN 636598-06-6 CAPLUS
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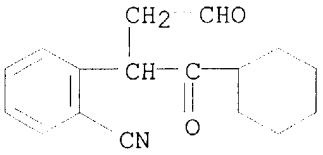
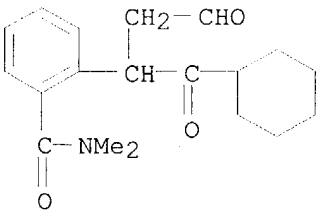


RN 636598-22-6 CAPLUS
CN Benzamide, 2-[1-(cyclohexylcarbonyl)-3-oxopropyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



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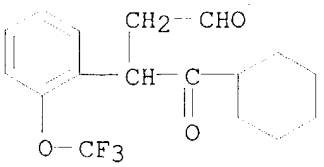

RN 636598-27-1 CAPLUS
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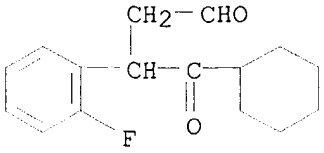


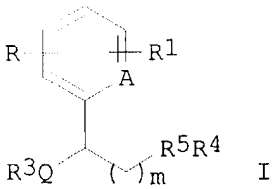
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1006953 CAPLUS
DOCUMENT NUMBER: 140:59523
TITLE: Preparation of phenylalkylamines and pyridylalkylamines as 5-HT1A serotonergic ligands.
INVENTOR(S): Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Guarneri, Luciano
PATENT ASSIGNEE(S): Recordati S.A., Switz.; Recordati Industria Chimica e Farmaceutica S.p.A.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106421	A2	20031224	WO 2003-EP6290	20030616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IT 2002-MI1329 A 20020614
OTHER SOURCE(S): MARPAT 140:59523
ED Entered STN: 26 Dec 2003
GI



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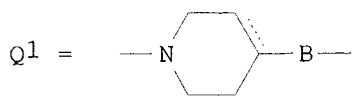


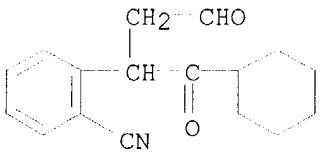
AB Title compds. [I; R = H, halo, alkyl, alkoxy, alkylthio, OH, halo, alkenyl, alkynyl, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, dialkylaminosulfonyl, etc.; R1 = H, (substituted) cycloalkyl, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heterocycloalkyl, heterocycycloxy, heterocycloalkoxy; Q = CO, CH(OH), CH(OR2); R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R3 = (substituted)

alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl; R4 = (substituted) aryl, heterocyclyl; A = CH, N; R5 = NR6(CH2)nR7, Q1; m, n = 2, 3; R6 = H, alkyl; R7 = O, S, NR6, CH2; B = bond, O, S, NR6, CH2; dotted line = optional double bond; with provisos], were prepd. for treatment of neuromuscular dysfunction of the lower urinary tract (no data). Thus, 3-(2-cyanophenyl)-4-cyclohexyl-4-oxobutyraldehyde (prepn. given), 8-(N-methyl-2-aminoethoxy)quinoline, and Na(AcO)3BH were stirred with AcOH in CH2Cl2 for 1 h to give 52% 8-[N-[3-(2-cyanophenyl)-4-cyclohexyl-4-oxobutyl]-N-methyl-2-aminoethoxy]quinoline.

IT **636598-06-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylalkylamines and pyridylalkylamines as 5-HT1A serotonergic ligands)

RN 636598-06-6 CAPLUS

CN Benzonitrile, 2-[1-(cyclohexylcarbonyl)-3-oxopropyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:51440 CAPLUS
DOCUMENT NUMBER: 136:102392
TITLE: Preparation of phenylpyridazine derivatives as inhibitors of interleukin-1.beta. production
INVENTOR(S): Ohkuchi, Masao; Kyotani, Yoshinori; Shigyo, Hiromichi; Koshi, Tomoyuki; Ohgiya, Tadaaki; Matsuda, Takayuki; Kumai, Natsuyo; Yasuoka, Kyoko
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004427	A1	20020117	WO 2001-JP5904	20010706
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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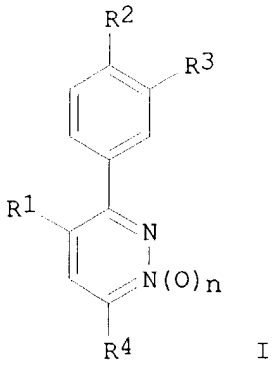
AU 2001069474	A5	20020121	AU 2001-69474	20010706
EP 1300399	A1	20030409	EP 2001-947902	20010706
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003000097	A	20030109	NO 2003-97	20030109

PRIORITY APPLN. INFO.: US 2000-612953 A 20000710
WO 2001-JP5904 W 20010706

OTHER SOURCE(S): MARPAT 136:102392
ED Entered STN: 18 Jan 2002
GI



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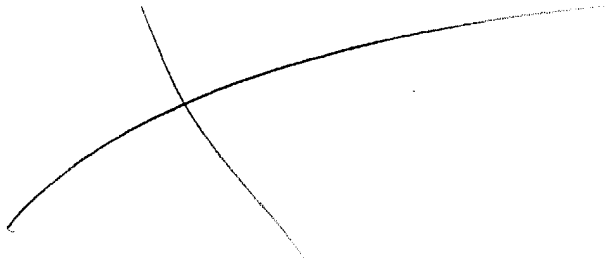
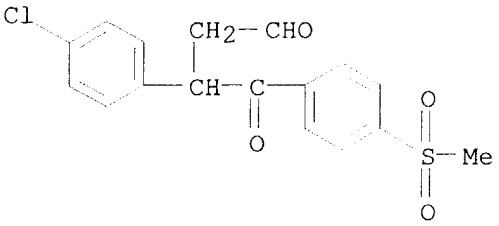


AB Compds. of the general formula (I) or salts thereof [wherein R1 is optionally substituted Ph or pyridyl; R2 is lower alkoxy, lower alkylthio, lower alkylsulfinyl, or lower alkylsulfonyl; R3 is hydrogen or lower alkoxy; or R2 and R3 together form a alkylenedioxy group; and R4 is hydrogen, halo, cyano, CO2H, each optionally substituted lower alkyl, lower alkenyl, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, lower alkylsulfonyloxy, arom. hydrocarbyl, arom. heterocyclyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, pyridyloxy, morpholino, morpholinocarbonyl, piperidinocarbonyl, 1-piperazinylcarbonyl, or NH2; n = 0, 1] are prepd. The compds. I exhibit an excellent interleukin 1.beta. prodn. inhibiting activity and are useful as preventive and therapeutic drugs for diseases caused by increased prodn. of interleukin 1.beta. such as immunol. diseases, inflammatory diseases, ischemic disease, osteoporosis, septicemia, rheumatism, arthritis, and inflammatory colitis. Thus, 2,3,4,5,6-pentafluorophenol and K2CO3 were added to a soln. of 6-chloro-3-(4-methoxyphenyl)-4-phenylpyridazine in DMF and stirred at 80.degree. for 7 h to give 30.5% 3-(4-methoxyphenyl)-6-(2,3,4,5,6-pentafluorophenoxy)-4-phenylpyridazine (II). II showed IC50 of 0.01 .mu.M for inhibiting the prodn. of interleukin-1.beta. in HL-60 cells.

IT **388606-95-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylpyridazine derivs. as inhibitors of interleukin 1.beta. prodn. and preventive and therapeutic drugs for diseases caused by increased prodn. of interleukin 1.beta.)

RN 388606-95-9 CAPLUS
CN Benzenebutanal, .beta.-(4-chlorophenyl)-4-(methylsulfonyl)-.gamma.-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:337331 CAPLUS
DOCUMENT NUMBER: 137:78926
TITLE: Asymmetric Construction of Quaternary Centers by Enantioselective Allylation: Application to the Synthesis of the Serotonin Antagonist LY426965
AUTHOR(S): Denmark, Scott E.; Fu, Jiping
CORPORATE SOURCE: Roger Adams Laboratory, Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA
SOURCE: Organic Letters (2002), 4(11), 1951-1953
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:78926
ED Entered STN: 07 May 2002
GI

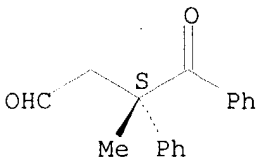
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Serotonin antagonist LY426965 I (R = cyclohexyl) and a related antagonist I (R = Ph) are prepd. enantioselectively in 6-8 steps from benzaldehyde, phenylacetylene, and 1-(2-methoxy)piperazine using the asym. allylation of benzaldehyde with allylic trichlorosilane (E)-PhC(Me):CHCH2SiCl3 (II) in the presence of bisdipyrrolodiazaphosphole ligand III as the key step. Phenylacetylene undergoes addn. with zirconocene dichloride and trimethylaluminum followed by lithiation and hydroxymethylation to provide (E)-PhC(Me):CHCH2OH; chlorination of the allylic alc. with NCS and substitution of the chloride with trichlorosilane gives II. In the key step, addn. of benzaldehyde to a soln. of II in the presence of III and tetrabutylammonium iodide gives the homoallylic alc. IV in 91% yield, 98% de, and 94% er. Hydroboration of IV, selective hydrogenation of the Ph moiety alpha to the secondary alc., Swern oxidn. of both alcs., and reductive amination of the aldehyde moiety with 1-(2-methoxyphenyl)piperazine gives I (R = cyclohexyl). Swern oxidn. of IV followed by reductive amination of the aldehyde moiety with 1-(2-methoxyphenyl)piperazine gives I (R = Ph). The prepns. of I (R = cyclohexyl, Ph) illustrate the ability of the asym. Lewis base-catalyzed allylation of aldehydes with allylic trichlorosilanes to set quaternary carbon centers with good stereoselectivity and to provide functionalized mols. contg. quaternary carbon stereocenters.

IT **440369-03-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. prepn. of a serotonin antagonist using the Lewis base-catalyzed asym. allylation of aldehydes with allylic trichlorosilanes to set a quaternary carbon stereocenter in the key step)

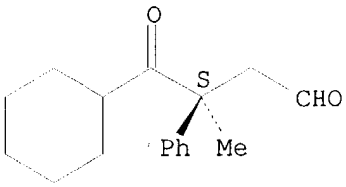
RN 440369-03-9 CAPLUS
CN Benzenebutanal, .beta.-methyl-.gamma.-oxo-.beta.-phenyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **440369-06-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. prepn. of the serotonin antagonist LY426965 using the Lewis base-catalyzed asym. allylation of aldehydes with allylic trichlorosilanes to set a quaternary carbon stereocenter in the key step)
RN 440369-06-2 CAPLUS
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl-, (.beta.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:730724 CAPLUS
DOCUMENT NUMBER: 135:272860
TITLE: Enantioselective process for preparing arylated lactones and derivatives
INVENTOR(S): Zhang, Tony Yantao; Zhang, Hongbin; Proctor, Christophor Scott
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

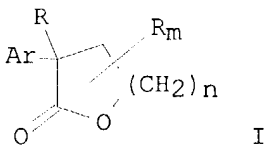
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072731	A2	20011004	WO 2001-US5800	20010312
WO 2001072731	A3	20030116		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1286980	A2	20030305	EP 2001-918212	20010312

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003225282 A1 20031204 US 2003-220444 20030318
PRIORITY APPLN. INFO.: US 2000-192148P P 20000324
WO 2001-US5800 W 20010312
OTHER SOURCE(S): CASREACT 135:272860; MARPAT 135:272860
ED Entered STN: 07 Oct 2001
GI



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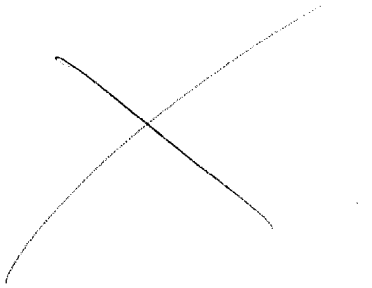
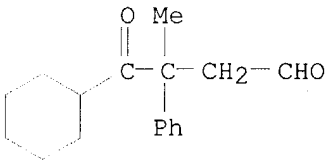


AB A process for the arylation of lactones to form to chiral and achiral aryllactones (I) having high enantioselectivity where applicable is described. These aryllactones can be used to prep. compds. chiral or achiral ketones R1COC(Ar)(R)CH2CH2NR2R3. Thus, .alpha.-(3,4-dimethoxyphenyl)-.alpha.-methyl-.gamma.-butyrolactone was prepd. from .alpha.-methyl-.gamma.-butyrolactone and 1,2-dimethoxy-4-bromobenzene in the presence of a base [KN(TMS)2] using Pd(OAc)2/(R)-(+)-BINAP as the catalyst.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and condensation reaction with arylpiperazine)

RN 228419-04-3 CAPLUS
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247332 CAPLUS
DOCUMENT NUMBER: 134:280711
TITLE: Preparation of 4-(benzothienyl)piperidines as serotonin reuptake inhibitors
INVENTOR(S): Kohlman, Daniel Timothy; Liang, Sidney Xi; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

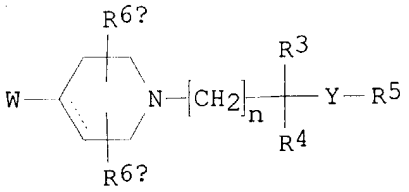
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023381	A1	20010405	WO 2000-US20824	20000914

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000014447 A 20020611 BR 2000-14447 20000914
JP 2003510322 T2 20030318 JP 2001-526533 20000914
PRIORITY APPLN. INFO.: US 1999-157343P P 19990929
WO 2000-US20824 W 20000914

OTHER SOURCE(S): MARPAT 134:280711
ED Entered STN: 06 Apr 2001
GI



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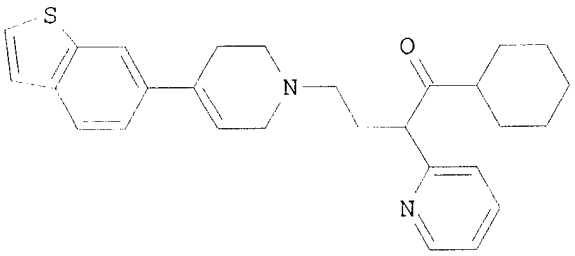
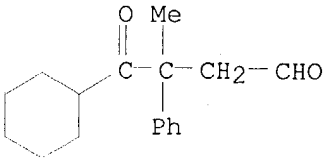


II

AB The title compds. [I; W = (un)substituted benzothienyl, benzofuranyl; Y = CO, CHOH, CH2, etc.; n = 1-4; R3 = O, OH, hydroxyalkyl, etc.; R4 = (un)substituted aryl, heterocyclyl,cycloalkyl; R5 = (un)substituted aryl, heterocyclyl,cycloalkyl; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin and antagonize the serotonin receptor, and therefore are useful in alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine, and treating depression, were prepd. and formulated. E.g., a multi-step synthesis of II was given.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-(benzothienyl)piperidines as serotonin reuptake inhibitors)

RN 228419-04-3 CAPLUS
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



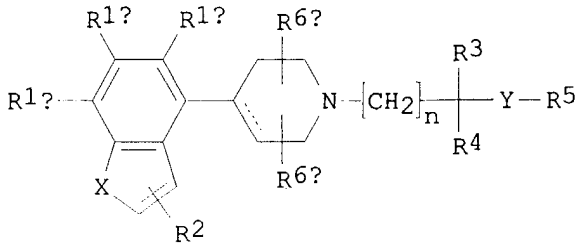
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247331 CAPLUS
DOCUMENT NUMBER: 134:280710
TITLE: Preparation of benzothienyl-substituted piperidines as serotonin reuptake inhibitors
INVENTOR(S): Liang, Sidney Xi; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023380	A1	20010405	WO 2000-US20823	20000914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014668	A	20020618	BR 2000-14668	20000914
EP 1220853	A1	20020710	EP 2000-961329	20000914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510321	T2	20030318	JP 2001-526532	20000914
US 6664274	B1	20031216	US 2002-70183	20020716

PRIORITY APPLN. INFO.: US 1999-156762P P 19990929
WO 2000-US20823 W 20000914

OTHER SOURCE(S): MARPAT 134:280710
ED Entered STN: 06 Apr 2001
GI



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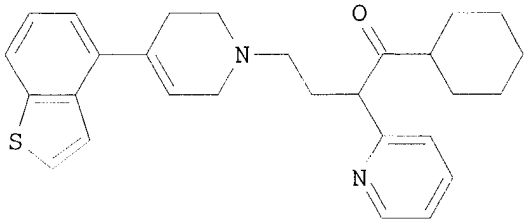
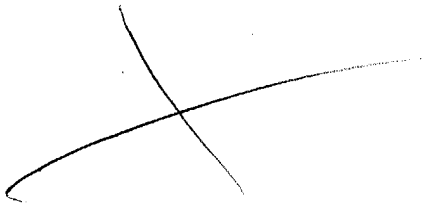


II

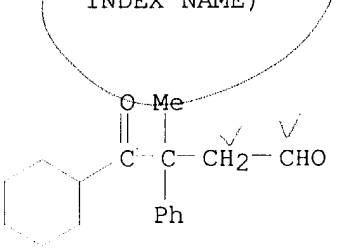


AB The title compds. [I; X = O, S; Y = CO, CHOH, CH2, etc.; n = 1-4; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, hydroxyalkyl, etc.; R4 = aryl, heterocyclyl, cycloalkyl, etc.; R5 = aryl, heterocyclyl, cycloalkyl, etc.; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin, antagonize the 5-HT1A receptor and antagonize the 5-HT2A receptor, and therefore are useful for alleviating the symptoms caused by withdrawal from the use of tobacco or nicotine, and depression, were prepd. and formulated. E.g., a multi-step synthesis of II was given.

IT **228419-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzothienyl-substituted piperidines as serotonin reuptake inhibitors)

RN 228419-04-3 CAPLUS

CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

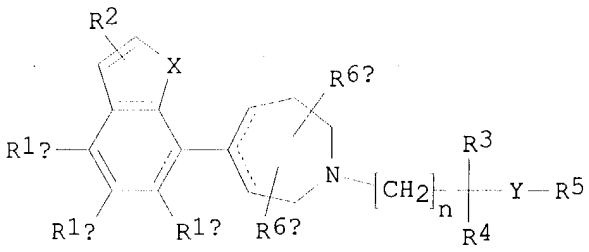
L11 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:15021 CAPLUS
DOCUMENT NUMBER: 132:64187
TITLE: Preparation of azepine derivatives having effects on serotonin related systems
INVENTOR(S): Hauser, Kenneth Lee; Hertel, Larry Wayne; Xu, Yao-Chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000203	A1	20000106	WO 1999-US14778	19990629
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2335310	AA	20000106	CA 1999-2335310	19990629
AU 9947277	A1	20000117	AU 1999-47277	19990629
EP 1091741	A1	20010418	EP 1999-930830	19990629
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
JP 2002519326	T2	20020702	JP 2000-556788	19990629
US 6465453	B1	20021015	US 2000-701363	20001128
US 2002193590	A1	20021219	US 2002-141424	20020508

PRIORITY APPLN. INFO.: US 1998-91245P P 19980630
WO 1999-US14778 W 19990629
US 2000-701363 A3 20001128

OTHER SOURCE(S): MARPAT 132:64187
ED Entered STN: 07 Jan 2000
GI



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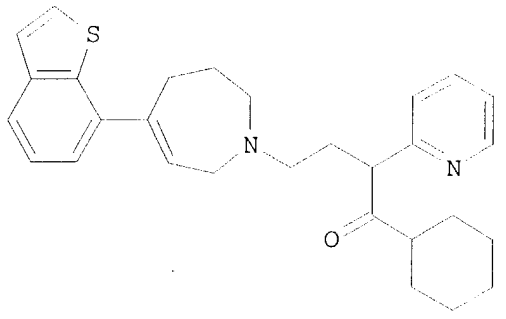


II

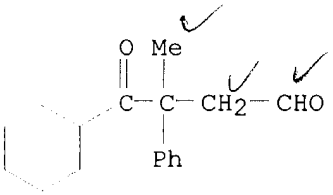
AB The title compds. [I; X = O, S, NR, SO, SO2; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH,

alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl; R5 = (un)substituted aryl, heterocyclyl, cycloalkyl; R6a, R6b = H, alkyl], useful in inhibiting the reuptake of serotonin, antagonizing the 5-HT1A receptor and antagonizing the 5-HT2A receptor, and therefore useful in treating depression, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given. Compds. I are effective at 20-25 mg/day.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azepine derivs. having effects on serotonin related systems)

RN 228419-04-3 CAPLUS

CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



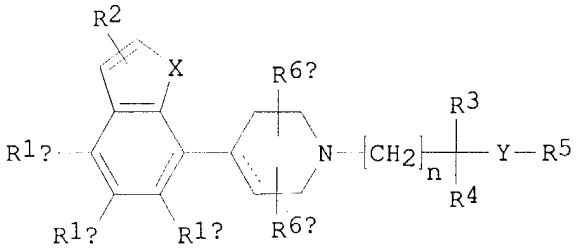
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:15012 CAPLUS
DOCUMENT NUMBER: 132:64175
TITLE: Preparation of piperidine derivatives having effects on serotonin related systems
INVENTOR(S): Hertel, Larry Wayne; Kohlmam, Daniel Timothy; Liang, Sidney Xi; Wong, David Taiwai; Xu, Yao-Chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000198	A1	20000106	WO 1999-US14732	19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336117	AA	20000106	CA 1999-2336117	19990629
AU 9947266	A1	20000117	AU 1999-47266	19990629
EP 982304	A1	20000301	EP 1999-305095	19990629
EP 982304	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1146045	A1	20011017	EP 2001-202620	19990629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				

JP 2002519323	T2	20020702	JP 2000-556783		19990629
AT 225345	E	20021015	AT 1999-305095		19990629
ES 2181366	T3	20030216	ES 1999-305095		19990629
US 6436964	B1	20020820	US 2000-701406		20001128
PRIORITY APPLN. INFO.:			US 1998-91241P	P	19980630
			EP 1999-305095	A3	19990629
			WO 1999-US14732	W	19990629

OTHER SOURCE(S): MARPAT 132:64175
ED Entered STN: 07 Jan 2000
GI



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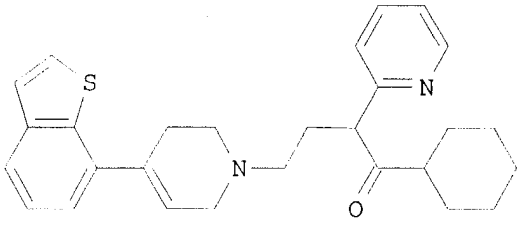
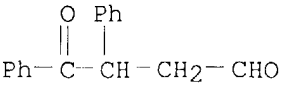


II

AB The title compds. [I; X = O, S, SO, SO2, NR; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, Br, etc.; R3 = O, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R5 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R6a, R6b = H, alkyl] and their pharmaceutically acceptable salts, useful for inhibiting the reuptake of serotonin, antagonizing the 5-HT1A receptor and antagonizing the 5-HT2A receptor, and therefore useful in treating depression, were prepd. and formulated. E.g., a multi-step synthesis of tetrahydropyridine II.oxalate, was given. In general, compds. I are effective at 1-200 mg/day.

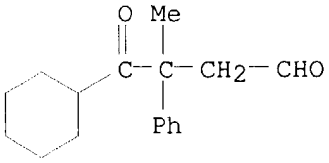
IT **147031-23-0P 228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidine derivs. having effects on serotonin related systems)

RN 147031-23-0 CAPLUS
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 CAPLUS

CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



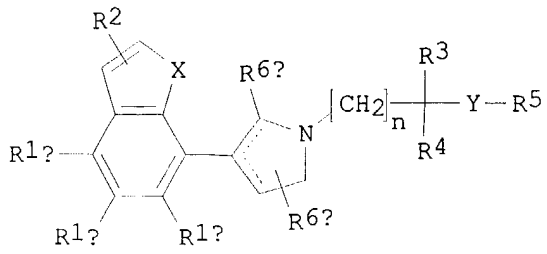
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:15008 CAPLUS
DOCUMENT NUMBER: 132:78467
TITLE: Preparation of pyrrolidine and pyrroline derivatives having effects on serotonin related systems
INVENTOR(S): Hertel, Larry Wayne; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000196	A1	20000106	WO 1999-US14881	19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2334897	AA	20000106	CA 1999-2334897	19990629
AU 9948501	A1	20000117	AU 1999-48501	19990629
EP 1100501	A1	20010523	EP 1999-932127	19990629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002519321	T2	20020702	JP 2000-556781	19990629
US 6353008	B1	20020305	US 2000-701361	20001128

PRIORITY APPLN. INFO.: US 1998-91204P P 19980630
WO 1999-US14881 W 19990629

OTHER SOURCE(S): MARPAT 132:78467
ED Entered STN: 07 Jan 2000
GI



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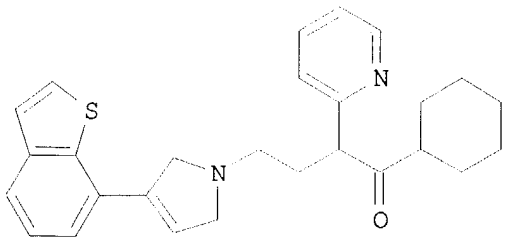


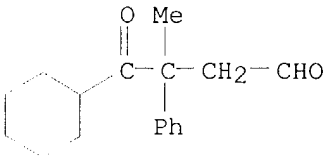
II

AB The title compds. [I; X = O, S, NR, SO, SO2; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl; R5 = (un)substituted aryl, heterocyclyl, cycloalkyl; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin, antagonize the 5-HT1A receptor and antagonize the 5-HT2A receptor, and therefore are useful in the treatment of depression, were prepd. and formulated. Thus, treatment of 3-(2-pyridyl)-4-cyclohexyl-4-keto-butyraldehyde ethylene ketal with 3N HCl followed by addn. of Na2SO4 and 3,4-dihydro-3-(7-benzothiophenyl)pyrrolidine in CH2Cl2, and then NaBH(OAc)3 afforded 24% II. Compds. I are effective, in general, at 1-200 mg/day.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrrolidine and pyrroline derivs. having effects on serotonin related systems)

RN 228419-04-3 CAPLUS

CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:401578 CAPLUS
DOCUMENT NUMBER: 131:58847
TITLE: Arylpiperazines having activity at the serotonin 1a receptor
INVENTOR(S): Kohlman, Timothy Daniel; Xu, Yao-chang; Godfrey, Alexander Glenn; O'Toole, John Cunningham; Zhang, Tony

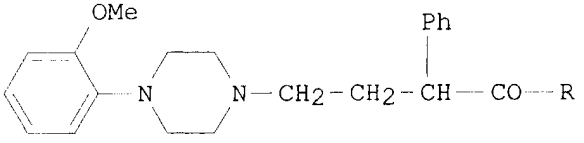
Yantao
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 924205	A1	19990623	EP 1998-310223	19981214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TW 520366	B	20030211	TW 1998-87119922	19981201
CA 2315227	AA	19990624	CA 1998-2315227	19981208
WO 9931077	A1	19990624	WO 1998-US26008	19981208
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9918083	A1	19990705	AU 1999-18083	19981208
AU 747040	B2	20020509		
BR 9814280	A	20011030	BR 1998-14280	19981208
JP 2002508364	T2	20020319	JP 2000-539004	19981208
NZ 505220	A	20021126	NZ 1998-505220	19981208
US 6239135	B1	20010529	US 1998-208553	19981209
ZA 9811473	A	20000614	ZA 1998-11473	19981214
NO 2000003082	A	20000802	NO 2000-3082	20000615
HR 2000000406	A1	20001231	HR 2000-406	20000616
US 2001003749	A1	20010614	US 2001-753645	20010103
US 6358958	B2	20020319		
US 2002169170	A1	20021114	US 2001-22045	20011218
US 6645967	B2	20031111		
US 2003027831	A1	20030206	US 2001-22043	20011218
US 6660859	B2	20031209		
AU 761622	B2	20030605	AU 2002-27468	20020320
AU 2002027468	A5	20020509		
US 2003008879	A1	20030109	US 2002-136101	20020430
US 6514976	B2	20030204		

PRIORITY APPLN. INFO.:

US 1997-69722P	P	19971216
US 1997-69791P	P	19971216
US 1998-89589P	P	19980617
AU 1999-18083	A3	19981208
WO 1998-US26008	W	19981208
US 1998-208553	A3	19981209
US 2001-753645	A3	20010103
US 2001-22043	A3	20011218

OTHER SOURCE(S): MARPAT 131:58847
ED Entered STN: 30 Jun 1999
GI

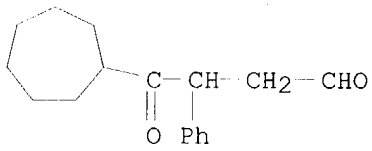


I

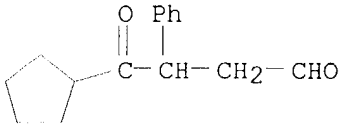
AB Aryl piperazine compds. are effective pharmaceuticals for the treatment of conditions related to or affected by the serotonin 1A receptor; the compds. are particularly effective antagonists at that receptor, and are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal. Title compds. such as I (R = Ph, cyclohexyl, cycloheptyl, cyclopentyl) were prepd. from 1-(2-methoxyphenyl)piperazine and RCOCHPhCH2CHO in 67-95% yields. Among the approx. 5 other compds. similarly prepd. were 1-(2-methoxyphenyl)-4-[3-cyclohexanecarbonyl-3-(phenyl)butyl]piperazine, 1-(2-pyridyl)-4-[3-cyclohexanecarbonyl-3-(phenyl)butyl]piperazine and 1-(2-ethoxyphenyl)-4-[3-cyclohexanecarbonyl-3-(phenyl)butyl]piperazine.

IT **228419-09-8**, 3-Cycloheptanecarbonyl-3-phenylpropanal
228419-10-1, 3-Cyclopentanecarbonyl-3-phenylpropanal
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of arylpiperazines having activity at serotonin 1a receptor)

RN 228419-09-8 CAPLUS
CN Cycloheptanebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)

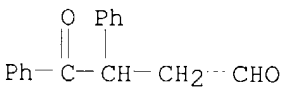


RN 228419-10-1 CAPLUS
CN Benzenepropanal, .beta.-(cyclopentylcarbonyl)- (9CI) (CA INDEX NAME)

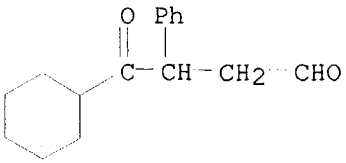


IT **147031-23-0P**, 3-Benzoyl-3-phenylpropionaldehyde
228418-97-1P, 3-Cyclohexanecarbonyl-3-propanal
228419-00-9P, 3-Benzoyl-3-phenylbutanal **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylpiperazines having activity at serotonin 1a receptor)

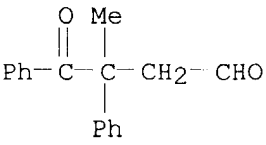
RN 147031-23-0 CAPLUS
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



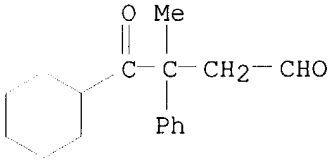
RN 228418-97-1 CAPLUS
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)



RN 228419-00-9 CAPLUS
CN Benzenebutanal, .beta.-methyl-.gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)

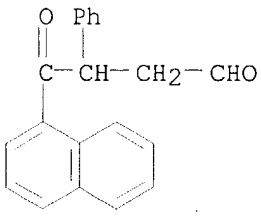


RN 228419-04-3 CAPLUS
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:800854 CAPLUS
DOCUMENT NUMBER: 132:122504
TITLE: 1,3,4,5-Tetrahydroazepin-2-ones by dearomatising anionic cyclisation of N-allyl-1-naphthamides
AUTHOR(S): Ahmed, Anjum; Clayden, Jonathan; Rowley, Michael
CORPORATE SOURCE: Dep. Chemistry, Univ. Manchester, Manchester, M13 9PL, UK
SOURCE: Synlett (1999), (12), 1954-1956
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:122504
ED Entered STN: 20 Dec 1999
AB On treatment with Me3CLi and DMPU, 1-naphthamides bearing N-allyl or N-prenyl substituents cyclize to give a mixt. of products from which 7-membered lactams were isolated in .ltoreq.73% yield.
IT **256418-40-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of hydroazepinones by dearomatizing anionic cyclization of N-allylnaphthamides)
RN 256418-40-3 CAPLUS
CN 1-Naphthalenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)

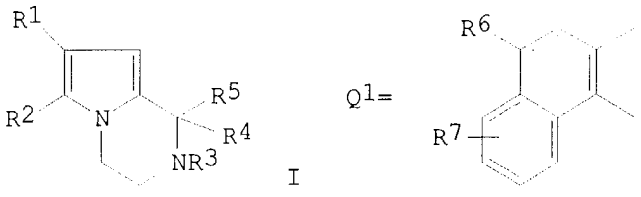


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:234081 CAPLUS
DOCUMENT NUMBER: 118:234081
TITLE: Preparation of pyrrolo[1,2-.alpha.]pyrazines and benzo[g]pyrazinoindoles as monoamine oxidase inhibitors
INVENTOR(S): Roever, Stephan
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 53 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 521368	A1	19930107	EP 1992-110531	19920623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
ZA 9204720	A	19930331	ZA 1992-4720	19920625
US 5292732	A	19940308	US 1992-905584	19920626
HU 70163	A2	19950928	HU 1992-2139	19920626
IL 102337	A1	19960131	IL 1992-102337	19920626
AU 9219309	A1	19930107	AU 1992-19309	19920629
AU 654362	B2	19941103		
CA 2072836	AA	19930103	CA 1992-2072836	19920630
NO 9202604	A	19930104	NO 1992-2604	19920701
BR 9202558	A	19930316	BR 1992-2558	19920701
CN 1068328	A	19930127	CN 1992-105314	19920702
CN 1030986	B	19960214		
JP 06239865	A2	19940830	JP 1992-197449	19920702
JP 07074217	B4	19950809		

PRIORITY APPLN. INFO.: CH 1991-1950 19910702
CH 1992-1667 19920522
OTHER SOURCE(S): MARPAT 118:234081
ED Entered STN: 12 Jun 1993
GI



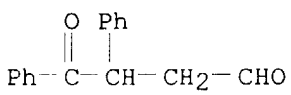
I

AB Title compds. [I; one of R1, R2 = aryl, the other = H, alkyl, aryl; R1R2 = O1; R3 = H, alkyl; R4 = H; R3R4 = bond; R5, R6 = H, alkyl; R7 = H, halo, alkyl, (substituted) alkoxy, cycloalkyl, cycloalkenyl, cycloalkoxy, OH, F3CSO2O, (substituted) PhCH2O2CO; dotted line = optional double bond], were prepd. Thus, a suspension of Rieke Mg in THF was treated sequentially with 2-(2-bromoethyl)-1,3-dioxolone, CuI, and 3-ClC6H4COCl to give 3'-chloro-3-(1,3-dioxolon-2-yl)propiopherone. This was treated with 2N HCl in THF to give a residue which was stirred with AcNHCH2CH2NH2 in HOAc to give N-[2-[2-(m-chlorophenyl)pyrrol-1-yl]ethyl]acetamide. This was refluxed in POCl3 to give, after salification, 6-(m-chlorophenyl)-3,4-dihydro-1-methylpyrrolo[12-a]pyrazine fumarate. I inhibited monoamine oxidase activity on 5-hydroxytryptamine in rat brain homogenate with IC50 = 0.0008-0.3 .mu.M. Pharmaceutical I formulations are given.

IT **147031-23-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for pyrrolopyrazine deriv. monoamine oxidase inhibitor)

RN 147031-23-0 CAPLUS

CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



L11 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:486763 CAPLUS

DOCUMENT NUMBER: 69:86763

TITLE: Reaction of 4-benzopyrones with dimethylsulfoxonium methylide

AUTHOR(S): Caplin, G. A.; Ollis, W. D.; Sutherland, I. O.

CORPORATE SOURCE: Univ. Sheffield, Sheffield, UK

SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (18), 2302-10
CODEN: JSOOAX; ISSN: 0022-4952

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 69:86763

ED Entered STN: 12 May 1984

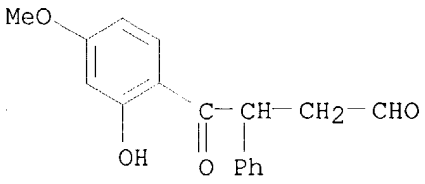
GI For diagram(s), see printed CA Issue.

AB The reaction of 4-benzopyrones with Me2S+OCH2 gives three types of products: 2,3-dihydro-2,3-methano-4-benzopyrones (I), 2-vinylcoumaran-3-ones, and 1-(2-hydroxyaryl)butane-1,4-diones (II). I are readily hydrolyzed to II; and this reaction may occur during the isolation of the latter products. The structural relation between these products is discussed in terms of reaction mechanism, and the effects of substituents upon product ratios are also considered.

IT **19725-66-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 19725-66-7 CAPLUS

CN Hydrocinnamaldehyde, .beta.-(2-hydroxy-p-anisoyl)- (8CI) (CA INDEX NAME)

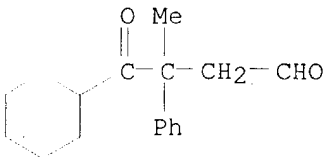


L11 ANSWER 16 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2003:319533 USPATFULL
TITLE: Enantioselective process for preparing arylated lactones and derivatives
INVENTOR(S): Zhang, Tony Yantao, Indianapolis, IN, UNITED STATES
Zhang, Hongbin, Kunming, CHINA
Proctor, Christophor Scott, Indianapolis, IN, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003225282	A1	20031204	
APPLICATION INFO.:	US 2003-220444	A1	20030318	(10)
	WO 2001-US5800		20010312	

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 702
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides a process for the arylation of lactones to form to chiral and achiral aryllactones having high enantioselectivity where applicable.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-04-3P**
(prepn. and condensation reaction with arylpiperazine)
RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 17 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2003:38184 USPATFULL
TITLE: Arylpiperazines having activity at the serotonin 1A receptor
INVENTOR(S): Xu, Yao-Chang, Fishers, IN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003027831	A1	20030206
	US 6660859	B2	20031209

APPLICATION INFO.: US 2001-22043 A1 20011218 (10)
RELATED APPLN. INFO.: Division of Ser. No. US 2001-753645, filed on 3 Jan 2001, GRANTED, Pat. No. US 6358958 Division of Ser. No. US 1998-208553, filed on 9 Dec 1998, GRANTED, Pat. No. US 6239135

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-89589P	19980617 (60)
	US 1997-69722P	19971216 (60)
	US 1997-69791P	19971216 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288
NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 59
LINE COUNT: 1946
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A series of aryl piperazine compounds of the formula: ##STR1##

wherein

Ar' is a mono or bicyclic aryl or heteroaryl radical substituted with one to three substituents selected from the group consisting of hydrogen, (C1-C6)alkyl, (C1-C6)alkoxy, (C1-C6)alkylthio, (C2-C6)alkynyl, (C1-C6)alkylhalo, (C3-C8)cycloalkyl, (C3-C8)cycloalkenyl or halo;

R1 is hydrogen, (C1-C6)alkyl, (C1-C6)alkoxy, (C1-C6)alkylthio;

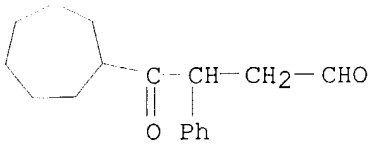
R2 is phenyl, naphthyl or (C3-C12)cycloalkyl substituted with one or two substituents selected from the group consisting of hydrogen (C1-C6)alkyl, (C1-C6)alkoxy, (C1-C6)alkylthio, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6)alkylhalo, (C3-C8)cycloalkyl, (C3-C8)cycloalkenyl or halo;

R3 is selected from the group consisting of hydrogen, (C1-C6)alkyl, (C1-C6)alkoxy, (C1-C6)alkylthio, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6)alkylhalo, (C3-C8)cycloalkyl, (C3-C8)cycloalkenyl or halo;

or the pharmaceutically acceptable salt, racemate, optical isomer or solvate thereof.

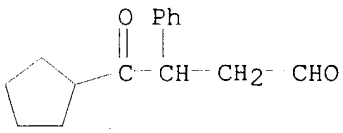
or the pharmaceutically acceptable salts thereof, are effective pharmaceuticals for the treatment of conditions related to or affected by the serotonin 1.sub.A receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-09-8**, 3-Cycloheptanecarbonyl-3-phenylpropanal
228419-10-1, 3-Cyclopentanecarbonyl-3-phenylpropanal
(prepn. of arylpiperazines having activity at serotonin 1a receptor)
RN 228419-09-8 USPATFULL
CN Cycloheptanebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



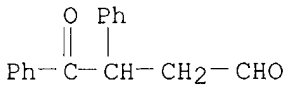
RN 228419-10-1 USPATFULL

CN Benzenepropanal, .beta.-(cyclopentylcarbonyl)- (9CI) (CA INDEX NAME)

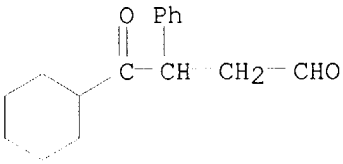


IT **147031-23-0P**, 3-Benzoyl-3-phenylpropionaldehyde
228418-97-1P, 3-Cyclohexanecarbonyl-3-propanal
228419-00-9P, 3-Benzoyl-3-phenylbutanal **228419-04-3P**
(prepn. of arylpiperazines having activity at serotonin 1a receptor)

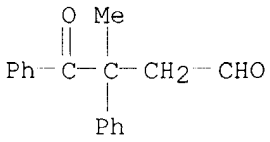
RN 147031-23-0 USPATFULL
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



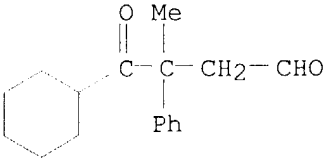
RN 228418-97-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)



RN 228419-00-9 USPATFULL
CN Benzenebutanal, .beta.-methyl-.gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2003:11175 USPATFULL

TITLE: Arylpiperazines having activity at the serotonin 1A receptor

INVENTOR(S): Kohlman, Daniel Timothy, Indianapolis, IN, UNITED STATES
Xu, Yao-Chang, Fishers, IN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20030008879	A1	20030109
	US 6514976	B2	20030204
APPLICATION INFO.:	US 2002-136101	A1	20020430 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-22043, filed on 18 Dec 2001, PENDING Division of Ser. No. US 2001-753645, filed on 3 Jan 2001, GRANTED, Pat. No. US 6358958 Division of Ser. No. US 1998-208553, filed on 9 Dec 1998, GRANTED, Pat. No. US 6239135

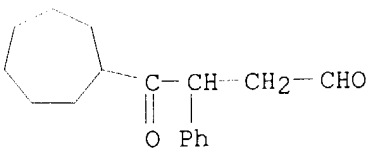
	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-89889P	19980617 (60)
	US 1997-69722P	19971216 (60)
	US 1997-69791P	19971216 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288

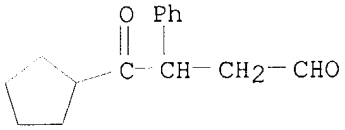
NUMBER OF CLAIMS: 45
EXEMPLARY CLAIM: 1
LINE COUNT: 2234
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of aryl piperazine compounds are effective pharmaceuticals for the treatment of conditions related to or affected by the serotonin 1.sub.A receptor; the compounds are particularly effective antagonists at that receptor, and are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-09-8**, 3-Cycloheptanecarbonyl-3-phenylpropanal
228419-10-1, 3-Cyclopentanecarbonyl-3-phenylpropanal
(prepn. of arylpiperazines having activity at serotonin 1a receptor)
RN 228419-09-8 USPATFULL
CN Cycloheptanebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)

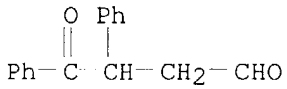


RN 228419-10-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclopentylcarbonyl)- (9CI) (CA INDEX NAME)

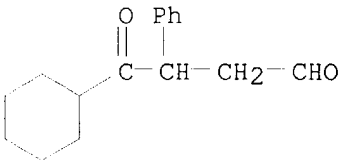


IT **147031-23-0P**, 3-Benzoyl-3-phenylpropionaldehyde

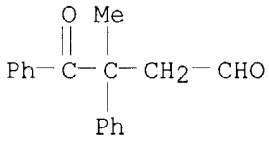
228418-97-1P, 3-Cyclohexanecarbonyl-3-propanal
228419-00-9P, 3-Benzoyl-3-phenylbutanal **228419-04-3P**
(prepn. of arylpiperazines having activity at serotonin 1a receptor)
RN 147031-23-0 USPATFULL
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



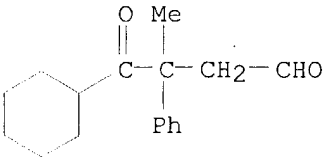
RN 228418-97-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)



RN 228419-00-9 USPATFULL
CN Benzenebutanal, .beta.-methyl-.gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 19 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2003:327013 USPATFULL
TITLE: Piperidine derivatives as serotonine reuptake inhibitors
INVENTOR(S): Liang, Sidney Xi, Hamden, CT, United States
Xu, Yao-Chang, Fishers, IN, United States
PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6664274	B1	20031216
	WO 2001023380		20010405

Searched by Barb O'Bryen, STIC 571-272-2518

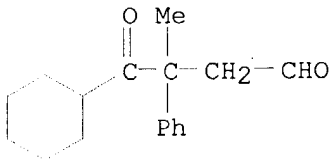
APPLICATION INFO.: US 2002-70183 20020716 (10)
WO 2000-US20823 20000914

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-156762P	19990929 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Aulakh, Charanjit S.
LEGAL REPRESENTATIVE: Sayles, Michael J.
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2387
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides compounds of formula I ##STR1##

and a method of inhibiting the reuptake of serotonin, antagonizing the 5-HT.sub.1A receptor and antagonizing the 5-HT.sub.2A receptor which comprises administering to a subject in need of such treatment an effective amount of a compound of formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-04-3P**
(prepn. of benzothienyl-substituted piperidines as serotonin reuptake inhibitors)
RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 20 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2003:327009 USPATFULL
TITLE: Phenylpyridazine compounds and medicines containing the same
INVENTOR(S): Ohkuchi, Masao, Tokorogawa, JAPAN
Kyotani, Yoshinori, Higashiyamato, JAPAN
Shigyo, Hiromichi, Fuchu, JAPAN
Koshi, Tomoyuki, Shiki, JAPAN
Ohgiya, Tadaaki, Tokorozawa, JAPAN
Matsuda, Takayuki, Higashimurayama, JAPAN
Kumai, Natsuyo, Fujimi, JAPAN
Kotaki, Kyoko, Sakado, JAPAN
PATENT ASSIGNEE(S): Kowa Co., Ltd., Nagoya, JAPAN (non-U.S. corporation)

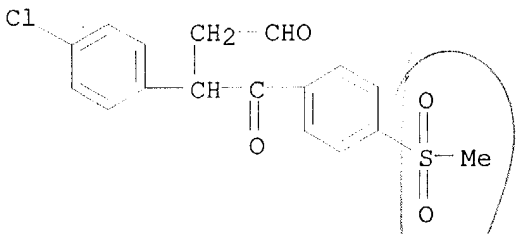
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6664256	B1	20031216
APPLICATION INFO.:	US 2000-612953		20000710 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Bernhardt, Emily
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 18

EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2057
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Phenylpyridazine compounds represented by the following formula (I):
##STR1##

are provided, wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, and n are as defined herein having excellent inhibitory activity against interleukin-1.beta. production, and useful in the treatment of prevention of diseases caused by stimulation of interleukin-1.beta. production, such as immune system diseases, inflammatory diseases, and ischemic diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **388606-95-9P**
(prepn. of phenylpyridazine derivs. as inhibitors of interleukin 1.beta. prodn. and preventive and therapeutic drugs for diseases caused by increased prodn. of interleukin 1.beta.)
RN 388606-95-9 USPATFULL
CN Benzenebutanal, .beta.-(4-chlorophenyl)-4-(methylsulfonyl)-.gamma.-oxo- (9CI) (CA INDEX NAME)



L11 ANSWER 21 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2002:338219 USPATFULL
TITLE: Azepine derivatives having effects on serotonin related systems
INVENTOR(S): Hauser, Kenneth Lee, Greencastle, IN, UNITED STATES
Hertel, Larry Wayne, Indianapolis, IN, UNITED STATES
Xu, Yao-Chang, Fishers, IN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002193590	A1	20021219
APPLICATION INFO.:	US 2002-141424	A1	20020508 (10)

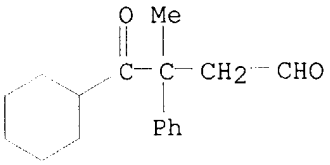
RELATED APPLN. INFO.: Division of Ser. No. US 2000-701363, filed on 28 Nov 2000, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-91245P	19980630 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 2981
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides compounds of formula I and a method of inhibiting the reuptake of serotonin, antagonizing the 5-HT.sub.1A

receptor and antagonizing the 5-HT.sub.2A receptor which comprises administering to a subject in need of such treatment an effective amount of a compound of formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-04-3P**
(prepn. of azepine derivs. having effects on serotonin related systems)
RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 22 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2002:301625 USPATFULL
TITLE: Arylpiperazines having activity at the serotonin 1A receptor
INVENTOR(S): Godfrey, Alexander Glenn, Greenwood, IN, UNITED STATES
Kohlman, Daniel Timothy, Indianapolis, IN, UNITED STATES
O' Toole, John Cunningham, Indianapolis, IN, UNITED STATES
Xu, Yao-Chang, Fishers, IN, UNITED STATES
Zhang, Tony Yantao, Indianapolis, IN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002169170	A1	20021114
	US 6645967	B2	20031111
APPLICATION INFO.:	US 2001-22045	A1	20011218 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-753645, filed on 3 Jan 2001, GRANTED, Pat. No. US 6358958

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-89589P	19980617 (60)
	US 1997-69722P	19971216 (60)
	US 1997-69791P	19971216 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 55
LINE COUNT: 1970
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method for potentiating the action of a serotonin reuptake inhibitor in increasing the availability of serotonin, norepinephrin and dopamine in the brain, comprising administering to a patient in need of such treatment a serotonin reuptake inhibitor in combination with an effective amount of a compound of the formula ##STR1##

wherein

Ar' is a mono or bicyclic aryl or heteroaryl radical substituted with

one to three substituents selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl, (C.sub.1-C.sub.6)alkoxy, (C.sub.1-C.sub.6)alkylthio, (C.sub.2-C.sub.6)alkenyl, (C.sub.2-C.sub.6)alkynyl, (C.sub.1-C.sub.6)alkyl halo, (C.sub.3-C.sub.8)cycloalkyl, (C.sub.3-C.sub.8)cycloalkenyl or halo;

R.sup.1 is hydrogen, (C.sub.1-C.sub.6)alkyl, (C.sub.1-C.sub.6)alkoxy, (C.sub.1-C.sub.6)alkylthio;

R.sup.2 is phenyl, naphthyl or (C.sub.3-C.sub.12)cycloalkyl substituted with one or two substituents selected from the group consisting of hydrogen (C.sub.1-C.sub.6)alkyl, (C.sub.1-C.sub.6)alkoxy, (C.sub.1-C.sub.6)alkylthio, (C.sub.2-C.sub.6)alkenyl, (C.sub.2-C.sub.6)alkynyl, (C.sub.1-C.sub.6)alkyl halo, (C.sub.3-C.sub.8)cycloalkyl, (C.sub.3-C.sub.8)cycloalkenyl or halo;

R.sup.3 is selected from the group consisting of hydrogen (C.sub.1-C.sub.6)alkyl, (C.sub.1-C.sub.6)alkoxy, (C.sub.1-C.sub.6)alkylthio, (C.sub.2-C.sub.6)alkenyl, (C.sub.2-C.sub.6)alkynyl, (C.sub.1-C.sub.6)alkylhalo, (C.sub.3-C.sub.8)cycloalkyl, (C.sub.3-C.sub.8)cycloalkenyl or halo;

X is --(C.dbd.O)--, --CHOH-- or --CH.sub.2--;

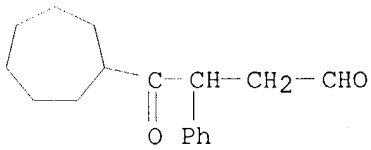
or a pharmaceutically acceptable salt, racemate, optical isomer or solvate thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **228419-09-8**, 3-Cycloheptanecarbonyl-3-phenylpropanal
228419-10-1, 3-Cyclopentanecarbonyl-3-phenylpropanal
(prepn. of arylpiperazines having activity at serotonin 1a receptor)

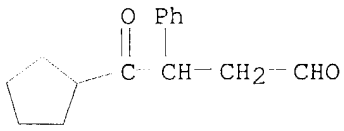
RN 228419-09-8 USPATFULL

CN Cycloheptanebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-10-1 USPATFULL

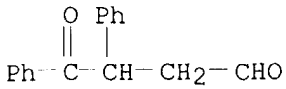
CN Benzenepropanal, .beta.-(cyclopentylcarbonyl)- (9CI) (CA INDEX NAME)



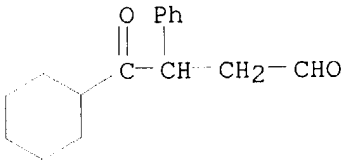
IT **147031-23-0P**, 3-Benzoyl-3-phenylpropionaldehyde
228418-97-1P, 3-Cyclohexanecarbonyl-3-propanal
228419-00-9P, 3-Benzoyl-3-phenylbutanal **228419-04-3P**
(prepn. of arylpiperazines having activity at serotonin 1a receptor)

RN 147031-23-0 USPATFULL

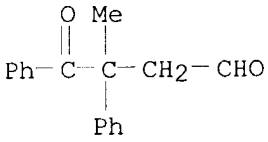
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



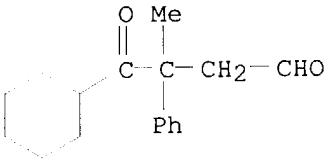
RN 228418-97-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)



RN 228419-00-9 USPATFULL
CN Benzenebutanal, .beta.-methyl-.gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 23 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2002:268750 USPATFULL
TITLE:	Azepine derivatives having effects on serotonin related systems
INVENTOR(S):	Hauser, Kenneth Lee, Greencastle, IN, United States Hertel, Larry Wayne, Indianapolis, IN, United States Xu, Yao-Chang, Fishers, IN, United States
PATENT ASSIGNEE(S):	Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

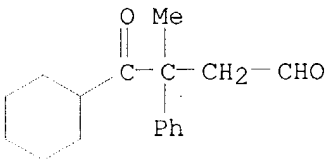
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6465453	B1	20021015	
	WO 2000000203		20000106	
APPLICATION INFO.:	US 2000-701363		20001128	(9)
	WO 1999-US14778		19990629	
			19990629	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-91245P	19980630 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Coleman, Brenda
LEGAL REPRESENTATIVE: Joyner, Charles T., Lentz, Nelsen L.
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2882
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compounds of formula I and a method of inhibiting the reuptake of serotonin, antagonizing the 5-HT.sub.1A receptor and antagonizing the 5-HT.sub.2A receptor which comprises administering to a subject in need of such treatment an effective amount of a compound of formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-04-3P**
(prepn. of azepine derivs. having effects on serotonin related systems)
RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 24 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2002:209547 USPATFULL
TITLE: Piperidine derivatives having effects on serotonin related systems
INVENTOR(S): Hertel, Larry Wayne, Indianapolis, IN, United States
Kohlman, Daniel Timothy, Camby, IN, United States
Liang, Sidney Xi, Fishers, IN, United States
Wong, David Taiwai, Indianapolis, IN, United States
Xu, Yao-Chang, Fishers, IN, United States
PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6436964	B1	20020820	
	WO 2000000198		20000106	
APPLICATION INFO.:	US 2000-701406		20001128	(9)
	WO 1999-US14732		19990629	
			20001128	PCT 371 date

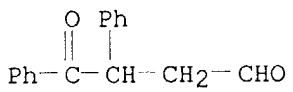
	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-91241P	19980630 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Fan, Jane
LEGAL REPRESENTATIVE: Joyner, Charles T., Lentz, Nelsen L.
NUMBER OF CLAIMS: 25

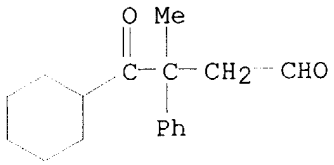
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 3433
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides the compounds of the following formula:

Wherein the variables are as defined in the specification and a method for inhibiting the reuptake of seretonin, antagonizing the 5-HT.sub.1A receptor and antagonizing the 5-HT.sub.2A receptor which comprises administering to a subject in need of such treatment an effective amount of the compound of above formula.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147031-23-0P 228419-04-3P**
(prepn. of piperidine derivs. having effects on serotonin related systems)
RN 147031-23-0 USPATFULL
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 25 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2002:45628 USPATFULL
TITLE: Pyrrolidine and pyrroline derivatives having effects on serotonin related systems
INVENTOR(S): Hertel, Larry Wayne, Indianapolis, IN, United States
Xu, Yao-Chang, Fishers, IN, United States
PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6353008	B1	20020305	
	WO 2000000196		20000106	
APPLICATION INFO.:	US 2000-701361		20001128	(9)
	WO 1999-US14881		19990629	
			20001128	PCT 371 date

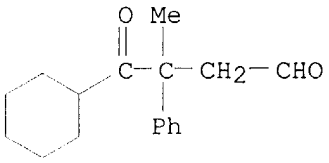
	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-91204P	19980630 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Fan, Jane
LEGAL REPRESENTATIVE: Joyner, Charles T., Lentz, Nelson L.

NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2949
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides the compounds of the following formula (I): ##STR1##

and a method for inhibiting the reuptake of seretonin, antagonizing the 5-HT.sub.1A receptor and antagonizing the 5-HT.sub.2A receptor which comprises administering to a subject in need of such treatment an effective amount of formula (I).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-04-3P**
(prepn. of pyrrolidine and pyrroline derivs. having effects on serotonin related systems)
RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 26 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2001:91599 USPATFULL
TITLE: Arylpiperazines having activity at the serotonin 1A receptor
INVENTOR(S): Godfrey, Alexander Glenn, Greenwood, IN, United States
Kohlman, Daniel Timothy, Indianapolis, IN, United States
O'Toole, John Cunningham, Indianapolis, IN, United States
Xu, Yao-Chang, Fishers, IN, United States
Zhang, Tony Yantao, Indianapolis, IN, United States

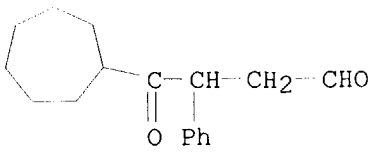
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001003749	A1	20010614
	US 6358958	B2	20020319
APPLICATION INFO.:	US 2001-753645	A1	20010103 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-208553, filed on 9 Dec 1998, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-89589P	19980617 (60)
	US 1997-69722P	19971216 (60)
	US 1997-69791P	19971216 (60)

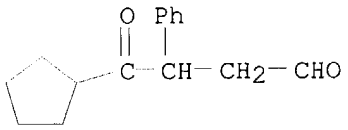
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: NELSEN L. LENTZ, Eli Lilly and Company, Lilly Corporate Center, Patent Division DC: 1104, Indianapolis, IN, 46285
NUMBER OF CLAIMS: 45
EXEMPLARY CLAIM: 1

LINE COUNT: 2215
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A series of aryl piperazine compounds are effective pharmaceuticals for the treatment of conditions related to or affected by the serotonin 1.sub.A receptor; the compounds are particularly effective antagonists at that receptor, and are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal.

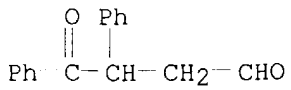
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-09-8**, 3-Cycloheptanecarbonyl-3-phenylpropanal
228419-10-1, 3-Cyclopentanecarbonyl-3-phenylpropanal
(prepn. of arylpiperazines having activity at serotonin 1a receptor)
RN 228419-09-8 USPATFULL
CN Cycloheptanebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



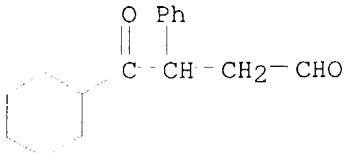
RN 228419-10-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclopentylcarbonyl)- (9CI) (CA INDEX NAME)



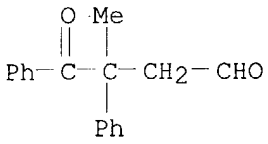
IT **147031-23-0P**, 3-Benzoyl-3-phenylpropionaldehyde
228418-97-1P, 3-Cyclohexanecarbonyl-3-propanal
228419-00-9P, 3-Benzoyl-3-phenylbutanal **228419-04-3P**
(prepn. of arylpiperazines having activity at serotonin 1a receptor)
RN 147031-23-0 USPATFULL
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



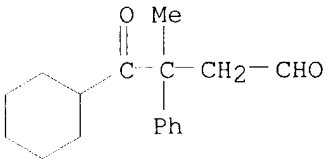
RN 228418-97-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)



RN 228419-00-9 USPATFULL
CN Benzenebutanal, .beta.-methyl-.gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 27 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2001:79160 USPATFULL
TITLE:	Arylpiperazines having activity at the serotonin 1A receptor
INVENTOR(S):	Kohlman, Daniel Timothy, Indianapolis, IN, United States Xu, Yao-Chang, Fishers, IN, United States
PATENT ASSIGNEE(S):	Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6239135	B1	20010529
APPLICATION INFO.:	US 1998-208553		19981209 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-69722P	19971216 (60)
	US 1997-69791P	19971216 (60)
	US 1998-89589P	19980617 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Bernhart, Emily
LEGAL REPRESENTATIVE:	Lentz, Nelsen L., Palmberg, Arleen
NUMBER OF CLAIMS:	8
EXEMPLARY CLAIM:	1
LINE COUNT:	1894

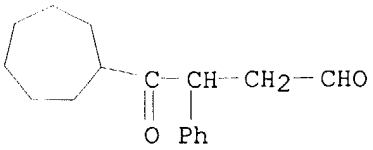
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of aryl piperazine compounds of the formula: ##STR1##

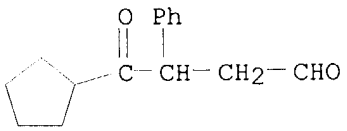
or the pharmaceutically acceptable salts thereof, are effective pharmaceuticals for the treatment of conditions related to or affected by the serotonin 1.sub.A receptor; the compounds are particularly effective antagonists at that receptor, and are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **228419-09-8**, 3-Cycloheptanecarbonyl-3-phenylpropanal
228419-10-1, 3-Cyclopentanecarbonyl-3-phenylpropanal
(prepn. of arylpiperazines having activity at serotonin 1a receptor)
RN 228419-09-8 USPATFULL

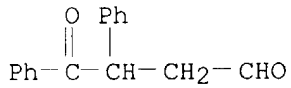
CN Cycloheptanebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



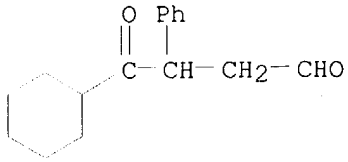
RN 228419-10-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclopentylcarbonyl)- (9CI) (CA INDEX NAME)



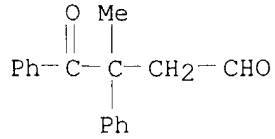
IT **147031-23-0P**, 3-Benzoyl-3-phenylpropionaldehyde
228418-97-1P, 3-Cyclohexanecarbonyl-3-propanal
228419-00-9P, 3-Benzoyl-3-phenylbutanal **228419-04-3P**
(prepn. of arylpiperazines having activity at serotonin 1a receptor)
RN 147031-23-0 USPATFULL
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



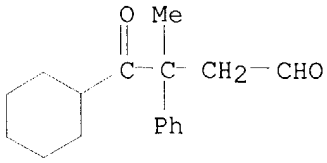
RN 228418-97-1 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)



RN 228419-00-9 USPATFULL
CN Benzenebutanal, .beta.-methyl-.gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 28 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2000:49626 USPATFULL		
TITLE:	Line marking shoe		
INVENTOR(S):	McGuffie, Iain Peter, Hungry Hill Farm, Stoke Lacy, NR Bromyard, Herefordshire HR7 4HD, United Kingdom		

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6053376		20000425	
	WO 9721871		19970619	
APPLICATION INFO.:	US 1998-91204		19980610	(9)
	WO 1996-GB2323		19960920	
			19980610	PCT 371 date
			19980610	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1995-25431	19951213

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Shaver, Kevin
ASSISTANT EXAMINER:	Bui, Thach
LEGAL REPRESENTATIVE:	Dorman, Ira S.
NUMBER OF CLAIMS:	23
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT:	451

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

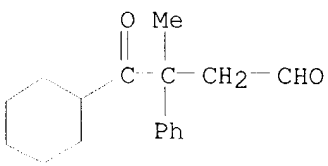
AB A line marking shoe (1) adapted in use to be advanced relative to a ground surface in a line marking direction to mark a line onto the ground surface in the line marking direction (A) includes a sole part (3) having a discharge opening region (13) formed in it for discharge of line marking material onto the ground surface The discharge opening region includes a number of discharge opening areas and the discharge opening areas are so dimensioned and arranged that discharge of line marking material onto the ground surface through different discharge opening areas produces lines of different widths on the ground surface.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **228419-04-3P**
(prepn. of pyrrolidine and pyrroline derivs. having effects on serotonin related systems)

RN 228419-04-3 USPATFULL

CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



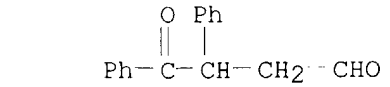
L11 ANSWER 29 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2000:45719 USPATFULL
TITLE: Method for forming a packaging for a plurality of containers which is easily opened
INVENTOR(S): Loreto, Vittorino, Siracusa, Italy
Loreto, Corrado, Avola, Italy
PATENT ASSIGNEE(S): Cielle Di Loreto Tommaso, Mililli, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6050058		20000418	
	WO 9721608		19970619	
APPLICATION INFO.:	US 1998-91241		19980612	(9)
	WO 1996-EP5545		19961211	
			19980612	PCT 371 date
			19980612	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1995-MI2595	19951212

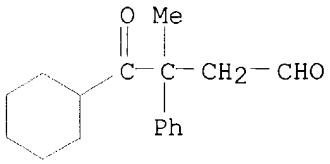
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Vo, Peter
ASSISTANT EXAMINER: Luby, Matthew
LEGAL REPRESENTATIVE: Young & Thompson
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 303
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The method for forming a packaging (1) for a plurality of containers (2) arranged in lines and rows involves wrapping the group of containers with a section of heat-sealable plastic film (3), the two end flaps of which overlap so as to be able to be heat-sealed with one another. Pre-cut lines (5), parallel to the direction in which the film itself extends, are formed beforehand in the film. The pre-cut lines are positioned at a distance from one another and, in the finished packaging, each pre-cut line is arranged between two adjacent rows of containers. One side of the film used is provided, in the position where a longitudinal pre-cut lines is already present or is to be formed, with a strip-shaped area which is not heat-sealable when it comes into contact with the film itself. The width of the strips which are not heat-sealable is chosen so as to cover the maximum overlapping imprecision due to the packaging machines.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147031-23-0P 228419-04-3P**
(prepn. of piperidine derivs. having effects on serotonin related systems)
RN 147031-23-0 USPATFULL
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



RN 228419-04-3 USPATFULL
CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA

INDEX NAME)



L11 ANSWER 30 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2000:32951 USPATFULL		
TITLE:	Men's body temperature controlling pants		
INVENTOR(S):	Chung, Seun Yung, Kocheung Jukong Apt. 1313-203, Haan 3-Dong, Kwangmyung City, Kyungki-do, 423-060, Korea, Republic of		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6038703		20000321
	WO 9721363		19970619
APPLICATION INFO.:	US 1998-91245		19980612 (9)
	WO 1996-KR238		19961211
			19980612 PCT 371 date
			19980612 PCT 102(e) date
	NUMBER		DATE
PRIORITY INFORMATION:	KR 1995-U41021		19951212
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Hale, Gloria M.		
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack, L.L.P.		
NUMBER OF CLAIMS:	12		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	5 Drawing Figure(s); 2 Drawing Page(s)		
LINE COUNT:	148		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

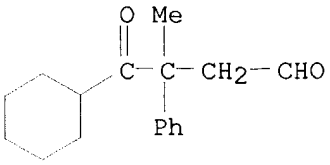
AB Men's pants (shorts or briefs) have a Y type separation band (2) or a U type separation band (22) inside thereof together with separation pads (7, 77) to separate the testicles from the body, the penis from the testicles, and the penis from both hips. Complete separation around the male genitals is achieved without effort by wearing these pants. A penis band (3) formed with an elastic band (4, 44) and clips (5, 55) is sewn to a center part of a waist band (6) in an I shape. A penis hole (14) can be adjusted by moving the two clips (5, 55) up and down according to the individual location and size of the penis (101). A lower part (88) below the clip (5) helps to increase separation between the testicles and the penis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **228419-04-3P**
(prepn. of azepine derivs. having effects on serotonin related systems)

RN 228419-04-3 USPATFULL

CN Benzenepropanal, .beta.-(cyclohexylcarbonyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 31 OF 31 USPATFULL on STN
ACCESSION NUMBER: 94:20167 USPATFULL
TITLE: Pyrrolopyrazine derivatives
INVENTOR(S): Rover, Stephan, Grenzach-Wyhlen, Germany, Federal Republic of
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5292732		19940308	
APPLICATION INFO.:	US 1992-905584		19920626	(7)

	NUMBER	DATE
PRIORITY INFORMATION:	CH 1991-1950	19910702
	CH 1992-1667	19920522

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.
LEGAL REPRESENTATIVE: Gould, George M., Johnston, George W., Parise, John P.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1,12,13
LINE COUNT: 2905
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The novel pyrrolopyrazines of the general formula ##STR1## wherein one of R.sup.1 and R.sup.2 signifies aryl and the other signifies hydrogen, lower alkyl or aryl or R.sup.1 and R.sup.2 together with the two carbon atoms denoted by .alpha. and .beta. signify the group A; ##STR2## R.sup.3 signifies hydrogen or lower alkyl and R.sup.4 signifies hydrogen or

R.sup.3 and R.sup.4 together signify an additional C/N bond;

R.sup.5 signifies hydrogen or lower alkyl;

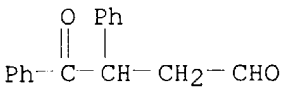
R.sup.6 signifies hydrogen or lower alkyl;

R.sup.7 signifies hydrogen, halogen, lower alkyl, optionally substituted lower alkoxy, or C.sub.3-6 -cycloalkyl, C.sub.4-6 -cycloalkenyl, C.sub.3-6 -cycloalkyloxy, hydroxy, trifluoro- methanesulphonyloxy or optionally substituted benzyl- oxycarbonyloxy; and the dotted line signifies an optional additional C/C bond,

and pharmaceutically acceptable acid addition salts of the compounds of formula I can be used in the control or prevention of illnesses or in the improvement of health, especially in the control or prevention of depressive states, cognitive disorders and neurodegenerative diseases such as Parkinson's disease and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **147031-23-0P**
(prepn. of, as intermediate for pyrrolopyrazine deriv. monoamine

oxidase inhibitor)
RN 147031-23-0 USPATFULL
CN Benzenebutanal, .gamma.-oxo-.beta.-phenyl- (9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 16:14:03 ON 27 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L3 STR
L7 16 SEA FILE=REGISTRY SSS FUL L3
L10 0 SEA FILE=CAOLD ABB=ON L7

=> fil casrea; d stat que l20; d ibib ed abs hit
FILE 'CASREACT' ENTERED AT 16:30:03 ON 27 FEB 2004

FILE CONTENT:1840 - 22 Feb 2004 VOL 140 ISS 8

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem and some records are produced using some INPI data from the period prior to 1986.

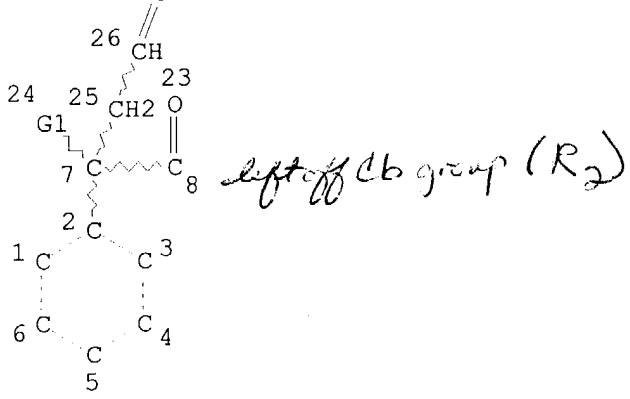
This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

L18 Product STR

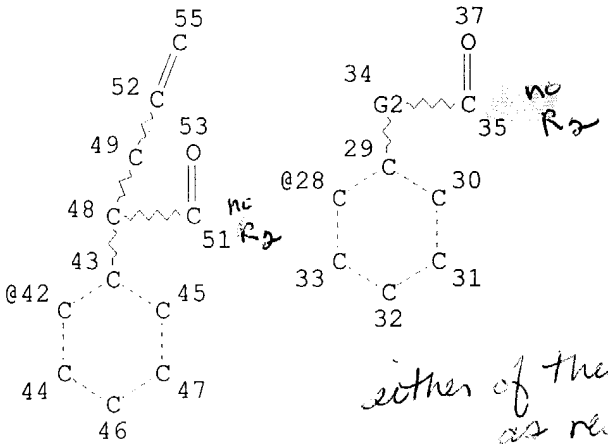
RRT PRO 27 O–Ak @16 17 S–Ak @18 19 Ak @20
G3 56



leaving Gb group (R_2)

CH–Ak @57 58 CH–O–Ak @59 60 61 CH–S–Ak @62 63 64

Page 1-A



either of these structures as reactants / reagents

Page 2-A
VAR G1=H/20/16/18
VAR G2=CH2/57/59/62
VAR G3=42/28
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 17
CONNECT IS E1 RC AT 19
CONNECT IS E1 RC AT 20
CONNECT IS E1 RC AT 58
CONNECT IS E1 RC AT 61
CONNECT IS E1 RC AT 64
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 48

STEREO ATTRIBUTES: NONE
L20 6 SEA FILE=CASREACT SSS FUL L18 (22 REACTIONS)

100.0% DONE 8845 VERIFIED 22 HIT RXNS 6 DOCS
SEARCH TIME: 00.00.01

'ED' IS NOT A VALID FORMAT FOR FILE 'CASREACT'
ENTER DISPLAY FORMAT (FCRDREF):end

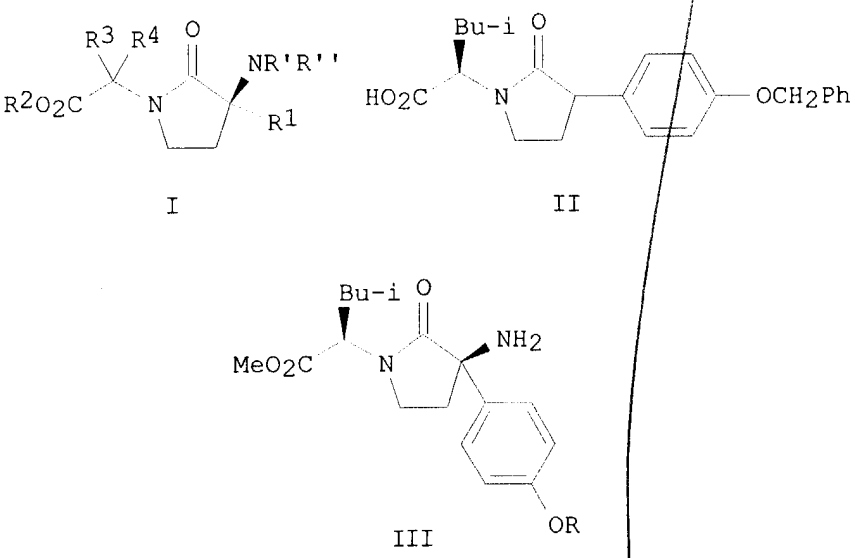
=> d ibib abs hit

L20 ANSWER 1 OF 6 CASREACT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:28052 CASREACT
TITLE: Asymmetric synthesis of aminopyrrolidinones
INVENTOR(S): Waltermire, Robert E.; Savage, Scott A.; Campagna, Silvio; Magnus, Nicholas A.; Confalone, Pasquale N.; Yates, Matthew; Meloni, David J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104220	A1	20031218	WO 2003-US7969	20030314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003236401	A1	20031225	US 2003-389528	20030314
PRIORITY APPLN. INFO.:			US 2002-387637P	20020611

OTHER SOURCE(S): MARPAT 140:28052
GI

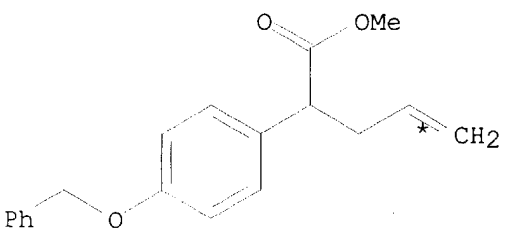


I II III

AB A novel process for the asym. synthesis of an aminopyrrolidinones I [R' is H, (cyclo)alkyl; R'' is a group R' or OH; R1 is substituted Ph or pyridyl; R2 is H, alkyl, Ph, benzyl; R3 is H, Q, (oxa)(aza)alk(en)(yn)ylene-Q, where Q is (un)substituted carbocyclyl; R4 is (oxa)(aza)alk(en)(yn)ylene-H] and corresponding aminoazetidinone, aminopiperidinone, and aminohexahydroazepinone analogs involves amination of corresponding pyrrolidinones or analogs. The products are useful as intermediates for MMP and TACE inhibitors. Thus, pyrrolidinone II was prepd. by cyclocondensation of p-PhCH2OC6H4CH(CH2CHO)CO2Me with D-leucine Me ester hydrochloride. Amination of II with 1-chloro-1-nitrosocyclopentane, followed by catalytic hydrogenation in MeOH, mesylation, N-protection with p-tolualdehyde, and reaction with 4-(chlormethyl)-2-methylquinoline (R-Cl) afforded III (isolated as the HCl salt).

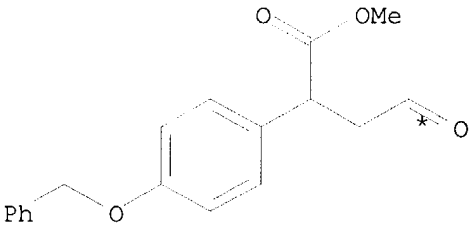
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(1) OF 47 ...**A** ===> **B**...



A

(1)



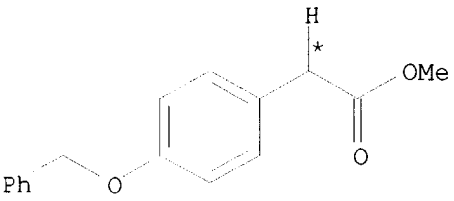
B
YIELD 80%

RX(1) RCT A **223410-63-7**

STAGE(1)
RGT C 10028-15-6 Ozone
SOL 141-78-6 AcOEt

STAGE(2)
RGT D 64-19-7 AcOH, E 7440-66-6 Zn
SOL 7732-18-5 Water
PRO B **634196-85-3**

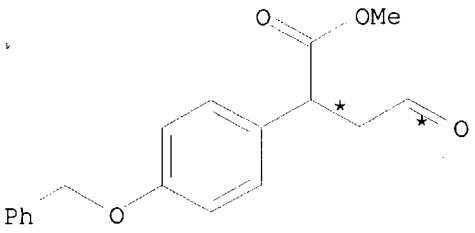
RX(17) OF 47 COMPOSED OF RX(6), RX(1)
RX(17) **AH** + AI ===> **B**



AH

AI

2 STEPS



B
YIELD 80%

RX(6) RCT AH **68641-16-7**

STAGE(1)
RGT AJ 4111-54-0 LiN(Pr-i)2
SOL 109-99-9 THF

STAGE(2)
RCT AI 106-95-6
PRO A 223410-63-7

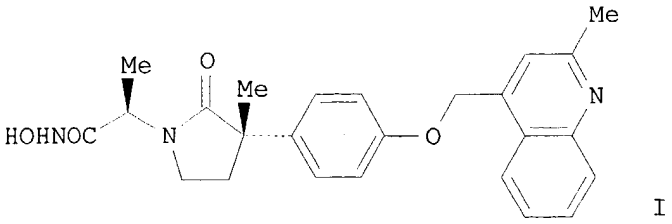
RX(1) RCT A 223410-63-7

STAGE(1)
RGT C 10028-15-6 Ozone
SOL 141-78-6 AcOEt

STAGE(2)
RGT D 64-19-7 AcOH, E 7440-66-6 Zn
SOL 7732-18-5 Water
PRO B **634196-85-3**

=> d ibib abs hit 2-6; fil hom

L20 ANSWER 2 OF 6 CASREACT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 138:55826 CASREACT
TITLE: Discovery of .gamma.-Lactam Hydroxamic Acids as Selective Inhibitors of Tumor Necrosis Factor .alpha. Converting Enzyme: Design, Synthesis, and Structure-Activity Relationships
AUTHOR(S): Duan, James J. W.; Chen, Lihua; Wasserman, Zelda R.; Lu, Zhonghui; Liu, Rui-Qin; Covington, Maryanne B.; Qian, Mingxin; Hardman, Karl D.; Magolda, Ronald L.; Newton, Robert C.; Christ, David D.; Wexler, Ruth R.; Decicco, Carl P.
CORPORATE SOURCE: Discovery Chemistry, Experimental Station, Bristol-Myers Squibb Company, Wilmington, DE, 19880-0500, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(23), 4954-4957
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

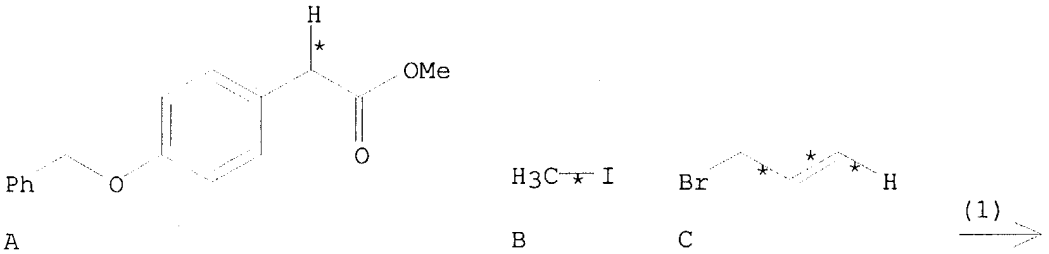


I

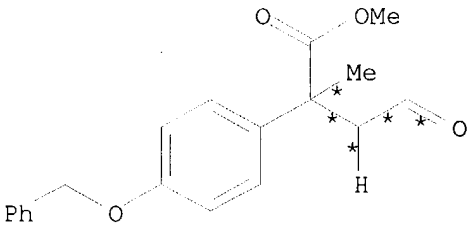
AB New .gamma.-lactam TACE inhibitors were designed from known MMP inhibitors. A homol. model of TACE was built and examd. to identify the S1' site as the key area for TACE selectivity over MMPs. Rational exploration of the P1'-S1' interactions resulted in the discovery of the 3,5-disubstituted benzyl ether as a TACE-selective P1' group. Further optimization led to the discovery of IK682 (I) as a selective and orally bioavailable TACE inhibitor.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(1) OF 10 **A** + B + C ===> **D**...



A B C



D
YIELD 82%

RX(1) RCT A **68641-16-7**

STAGE(1)
RGT E 1070-89-9 (Me3Si)2N.Na
SOL 109-99-9 THF

STAGE(2)
RCT B 74-88-4

STAGE(3)

RGT F 12125-02-9 NH4Cl
SOL 7732-18-5 Water

STAGE(4)
RGT E 1070-89-9 (Me3Si)2N.Na
SOL 109-99-9 THF

STAGE(5)
RCT C 106-95-6

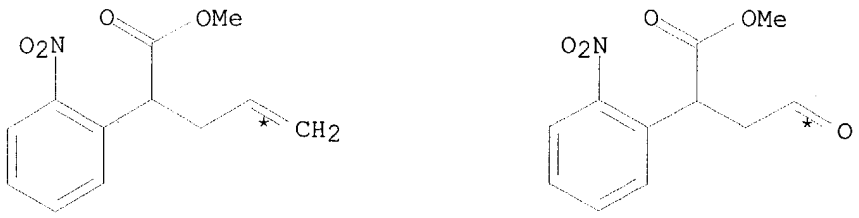
STAGE(6)
RGT F 12125-02-9 NH4Cl
SOL 7732-18-5 Water

STAGE(7)
RGT G 10028-15-6 Ozone

STAGE(8)
RGT H 603-35-0 PPh3
PRO D **223406-00-6**

L20 ANSWER 3 OF 6 CASREACT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 134:366781 CASREACT
TITLE: Diastereoselective synthesis of substituted tetrahydroquinoline-4-carboxylic esters by a tandem reduction-reductive amination reaction
AUTHOR(S): Bunce, Richard A.; Herron, Derrick M.; Johnson, Lara B.; Kotturi, Sharadsrikar V.
CORPORATE SOURCE: Department of Chemistry, Oklahoma State University, Stillwater, OK, 74078-3071, USA
SOURCE: Journal of Organic Chemistry (2001), 66(8), 2822-2827
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A diastereoselective synthesis of cis-1-methyl-2-alkyl-1,2,3,4-tetrahydroquinoline-4-carboxylic acids and cis-2-alkyl-1,2,3,4-tetrahydroquinoline-4-carboxylic esters was developed from Me (2-nitrophenyl)acetate (I). The method involves alkylation of I with an allylic halide, ozonolysis of the double bond, and catalytic hydrogenation. The final hydrogenation initiates a tandem sequence involving redn. of the arom. nitro group, condensation of the aniline or hydroxylamine nitrogen with the side chain carbonyl group, redn. of the resulting nitrogen intermediate, and reductive amination of the tetrahydroquinoline with formaldehyde produced in the ozonolysis to give a Me (.+-.)-1-methyl-2-alkyl-1,2,3,4-tetrahydroquinoline-4-carboxylate. Removal of the formaldehyde prior to hydrogenation gives the simple (.+-.)-2-alkyl derivs. The products were isolated in high yield as single diastereomers having the C-2 alkyl group cis to the C-4 carboxylic ester. The reaction was extended to the synthesis of tricyclic structures with similar high diastereoselection.
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(12) OF 50 ...**N** ===> **AD**...



N (12) AD
YIELD 98%

RX(12) RCT N **274676-13-0**

STAGE(1)
RGT T 10028-15-6 Ozone
SOL 67-56-1 MeOH

STAGE(2)
RGT AE 75-18-3 Me2S

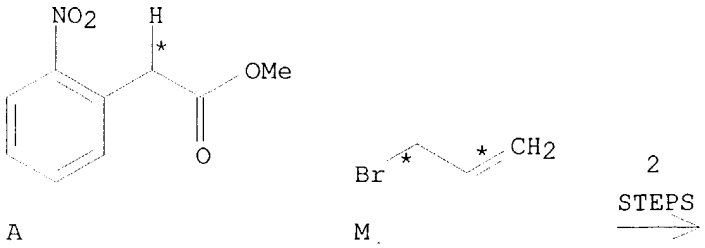
STAGE(3)
RGT AF 104-15-4 TsOH

STAGE(4)
SOL 60-29-7 Et2O

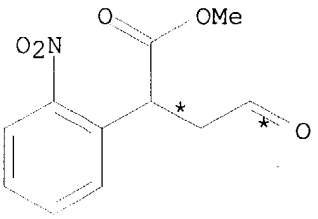
STAGE(5)
RGT AG 7601-90-3 HClO4
SOL 109-99-9 THF

STAGE(6)
SOL 75-09-2 CH2Cl2
PRO AD **340269-83-2**
NTE stereoselective

RX(34) OF 50 COMPOSED OF RX(5), RX(12)
RX(34) **A** + M ===> **AD**



A M 2 STEPS



AD
YIELD 98%

RX(5) RCT A **30095-98-8**

STAGE(1)
RGT D 584-08-7 K2CO3
CAT 17455-13-9 18-Crown-6
SOL 75-05-8 MeCN

STAGE(2)
RCT M 106-95-6
SOL 75-05-8 MeCN
PRO N 274676-13-0
NTE stereoselective

RX(12) RCT N 274676-13-0

STAGE(1)
RGT T 10028-15-6 Ozone
SOL 67-56-1 MeOH

STAGE(2)
RGT AE 75-18-3 Me2S

STAGE(3)
RGT AF 104-15-4 TsOH

STAGE(4)
SOL 60-29-7 Et2O

STAGE(5)
RGT AG 7601-90-3 HClO4
SOL 109-99-9 THF

STAGE(6)
SOL 75-09-2 CH2Cl2
PRO AD **340269-83-2**
NTE stereoselective

Copper

L20 ANSWER 4 OF 6 CASREACT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 116:255827 CASREACT
TITLE: Asymmetric construction of quaternary carbons from chiral malonates: selective and versatile total syntheses of the enantiomers of .alpha.- and .beta.-cuparenones from a common optically active precursor.
AUTHOR(S): Canet, Jean Louis; Fadel, Antoine; Salaun, Jacques
CORPORATE SOURCE: Inst. Chim. Mol., Univ. Paris-Sud, Orsay, 91405, Fr.
SOURCE: Journal of Organic Chemistry (1992), 57(12), 3463-73

CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
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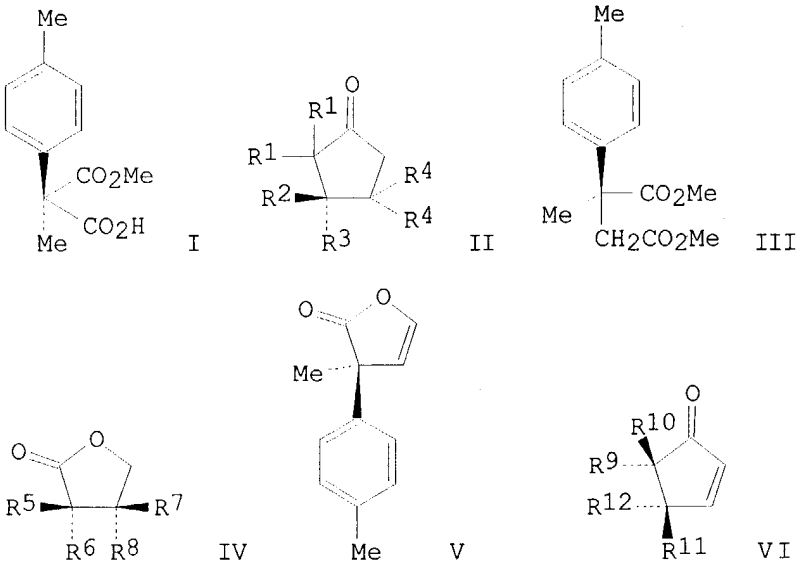
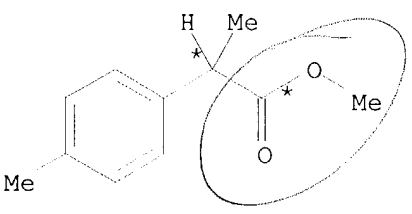
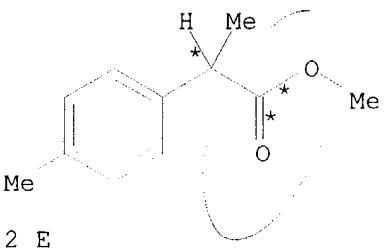


AB From single chiron (R)-I, available with high enantiomeric purity (96%) by simple enzymic hydrolysis (PLE) of a prochiral malonate, were prepd. convenient precursors of the two enantionmers of .alpha.- (II; R1 = R3 = Me, R2 = p-MeC6H4, R4 = H; R1 = R2 = Me, R3 = p-MeC6H4, R4 = H) and .beta.-cuparenones (II; R1 = H, R2 = p-MeC6H4, R3 = R4 = Me; R1 = H, R2 = R4 = Me, R3 = p-MeC6H4). This versatile method also allows prepns. of the enantiomer (S)-I and di-Me 2-methyl-2-p-tolylsuccinate [(S)-III] as well as the new butyrolactones (R)-IV (R5 = p-MeC6H4, R6 = Me, R7R8 = O; R5 = R6 = H, R7 = p-MeC6H4, R8 = Me) and (S)-IV (R5 = p-MeC6H4, R6 = Me, R7 = R8 = H), the new but-3-enolide (S)-V, and cyclopentenones (S)-VI (R9 = R10 = H, R11 = p-MeC6H4, R12 = Me; R9 = p-MeC6H4, R10 = Me, R11 = R12 = H), all bearing an asym. quaternary carbon.

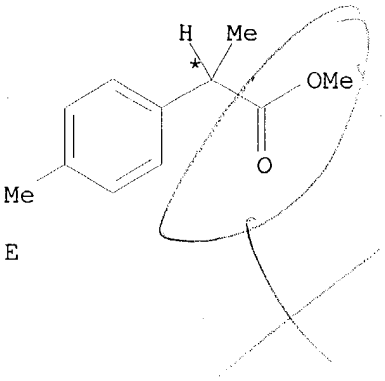
RX(439) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(7), RX(22), RX(30), RX(23), RX(24)
RX(439) 5 **E** + 5 F + 5 U + 5 BN ===> **BG** + BO + BP



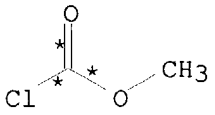
2 E



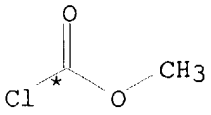
2 E



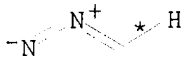
E



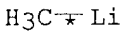
4 F



F

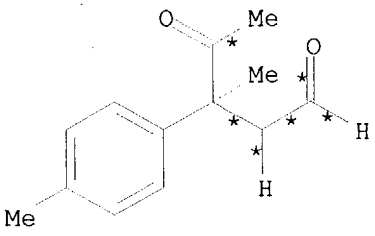


5 U

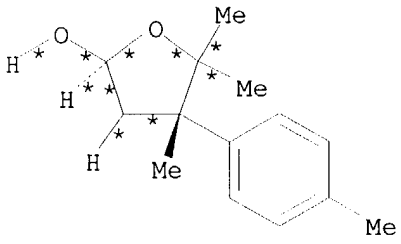


5 BN

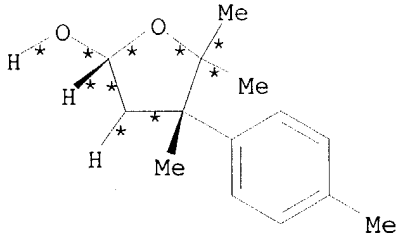
9
STEPS



BG
YIELD 50%



BO
YIELD 20%(67)



BP
YIELD 20%(33)

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2

PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(7) RCT V 133678-80-5
PRO C 124909-09-7, X 133678-83-8, Y 141045-40-1
CAT 121-44-8 Et3N, 20667-12-3 Ag2O
SOL 67-56-1 MeOH
NTE key step

RX(22) RCT X 133678-83-8

STAGE(1)
RGT Q 16940-66-2 NaBH4
SOL 64-17-5 EtOH

STAGE(2)
RGT R 7647-01-0 HCl
SOL 7732-18-5 Water
PRO BI 141045-53-6, BJ 141116-75-8

RX(30) RCT BI 141045-53-6

STAGE(1)
SOL 108-88-3 PhMe

STAGE(2)
RGT BX 603-35-0 PPh3, BY 288-32-4 1H-Imidazole, BZ 7553-56-2 I2

STAGE(3)
RGT CA 144-55-8 NaHCO3
SOL 7732-18-5 Water

STAGE(4)
RGT BZ 7553-56-2 I2

STAGE(5)
RGT CB 7772-98-7 Na2S2O3
SOL 7732-18-5 Water
PRO BK 141045-55-8

RX(23) RCT BK 141045-55-8
RGT BM 6674-22-2 DBU
PRO BL 141045-59-2
SOL 109-99-9 THF

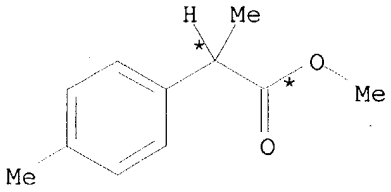
RX(24) RCT BL 141045-59-2, BN 917-54-4

STAGE(1)
SOL 60-29-7 Et2O

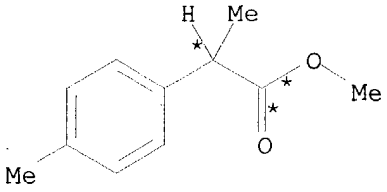
STAGE(2)
RGT AK 12125-02-9 NH4Cl
SOL 7732-18-5 Water
PRO BG **141045-52-5**, BO 141045-60-5, BP 141045-61-6

RX(441) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(9), RX(22), RX(30), RX(23), RX(24)
RX(441) 4 **E** + 4 F + 4 U + 5 BN ===> **BG** + BO +

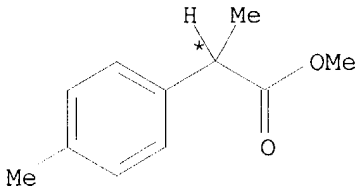
BP



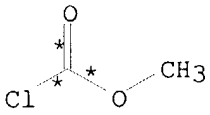
E



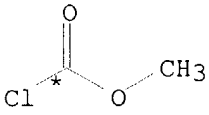
2 E



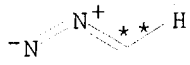
E



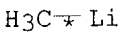
3 F



F

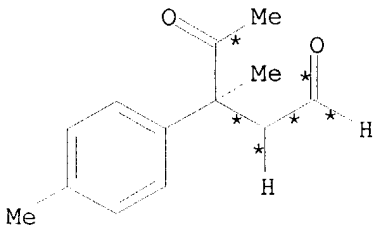


4 U

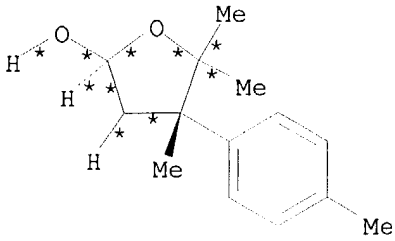


5 BN

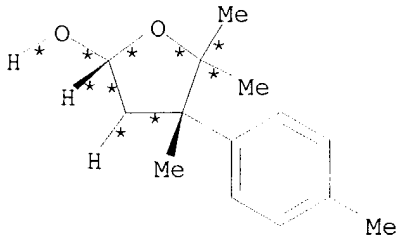
9
STEPS



BG
YIELD 50%



BO
YIELD 20%(67)



BP
YIELD 20%(33)

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(9) RCT V 133678-80-5
RGT R 7647-01-0 HCl
PRO X 133678-83-8, Y 141045-40-1
SOL 7732-18-5 Water, 109-99-9 THF

RX(22) RCT X 133678-83-8

STAGE(1)
RGT Q 16940-66-2 NaBH4
SOL 64-17-5 EtOH

STAGE(2)
RGT R 7647-01-0 HCl
SOL 7732-18-5 Water
PRO BI 141045-53-6, BJ 141116-75-8

RX(30) RCT BI 141045-53-6

STAGE(1)
SOL 108-88-3 PhMe

STAGE(2)
RGT BX 603-35-0 PPh3, BY 288-32-4 1H-Imidazole, BZ 7553-56-2 I2

STAGE(3)
RGT CA 144-55-8 NaHCO3
SOL 7732-18-5 Water

STAGE(4)
RGT BZ 7553-56-2 I2

STAGE(5)
RGT CB 7772-98-7 Na2S2O3
SOL 7732-18-5 Water
PRO BK 141045-55-8

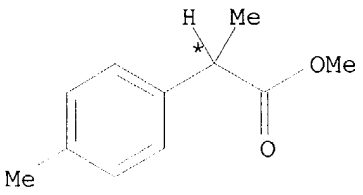
RX(23) RCT BK 141045-55-8
RGT BM 6674-22-2 DBU
PRO BL 141045-59-2
SOL 109-99-9 THF

RX(24) RCT BL 141045-59-2, BN 917-54-4

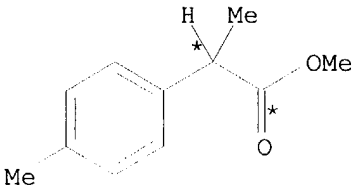
STAGE(1)
SOL 60-29-7 Et2O

STAGE(2)
RGT AK 12125-02-9 NH4Cl
SOL 7732-18-5 Water
PRO BG **141045-52-5**, BO 141045-60-5, BP 141045-61-6

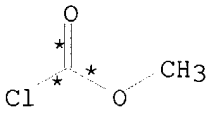
RX(469) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(7), RX(32), RX(22), RX(30), RX(23), RX(24)
RX(469) 5 **E** + 5 F + 5 U + 5 BN ===> **BG** + BO + BP



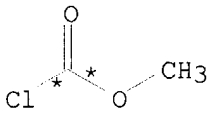
3 E



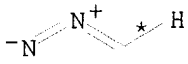
2 E



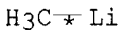
3 F



2 F

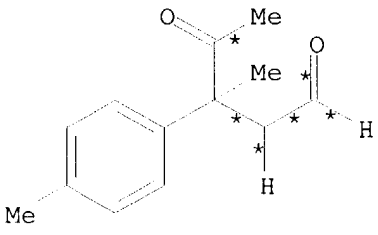


5 U

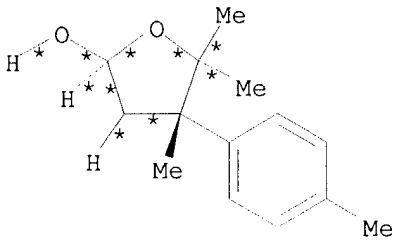


5 BN

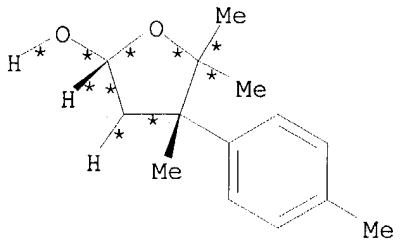
10 STEPS



BG
YIELD 50%



BO
YIELD 20%(67)



BP
YIELD 20%(33)

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(7) RCT V 133678-80-5
PRO C 124909-09-7, X 133678-83-8, Y 141045-40-1
CAT 121-44-8 Et3N, 20667-12-3 Ag2O
SOL 67-56-1 MeOH
NTE key step

RX(32) RCT Y 141045-40-1
PRO X 133678-83-8
SOL 865-49-6 CDCl3

RX(22) RCT X 133678-83-8

STAGE(1)
RGT Q 16940-66-2 NaBH4
SOL 64-17-5 EtOH

STAGE(2)
RGT R 7647-01-0 HCl
SOL 7732-18-5 Water
PRO BI 141045-53-6, BJ 141116-75-8

RX(30) RCT BI 141045-53-6

STAGE(1)
SOL 108-88-3 PhMe

STAGE(2)
RGT BX 603-35-0 PPh3, BY 288-32-4 1H-Imidazole, BZ 7553-56-2 I2

STAGE(3)
RGT CA 144-55-8 NaHCO3
SOL 7732-18-5 Water

STAGE(4)
RGT BZ 7553-56-2 I2

STAGE(5)
RGT CB 7772-98-7 Na2S2O3
SOL 7732-18-5 Water
PRO BK 141045-55-8

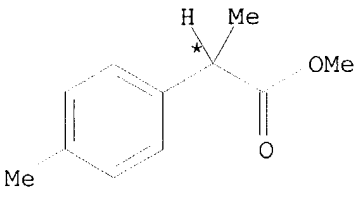
RX(23) RCT BK 141045-55-8
RGT BM 6674-22-2 DBU
PRO BL 141045-59-2
SOL 109-99-9 THF

RX(24) RCT BL 141045-59-2, BN 917-54-4

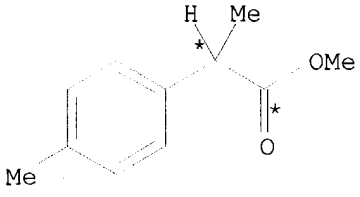
STAGE(1)
SOL 60-29-7 Et2O

STAGE(2)
RGT AK 12125-02-9 NH4Cl
SOL 7732-18-5 Water
PRO BG **141045-52-5**, BO 141045-60-5, BP 141045-61-6

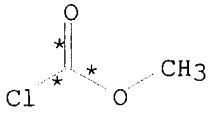
RX(471) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(9), RX(32), RX(22), RX(30), RX(23), RX(24)
RX(471) 5 **E** + 5 F + 5 U + 5 BN ===> **BG** + BO + BP



3 E

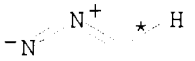


2 E

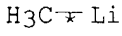


3 F

2 F

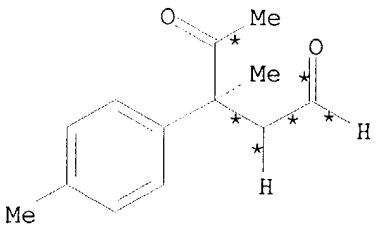


5 U

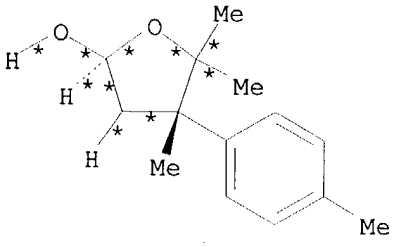


5 BN

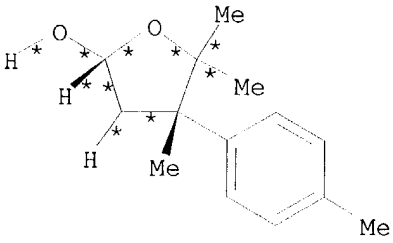
10 STEPS



BG
YIELD 50%



BO
YIELD 20%(67)



BP
YIELD 20%(33)

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(9) RCT V 133678-80-5
RGT R 7647-01-0 HCl
PRO X 133678-83-8, Y 141045-40-1
SOL 7732-18-5 Water, 109-99-9 THF

RX(32) RCT Y 141045-40-1

PRO X 133678-83-8
SOL 865-49-6 CDCl3

RX(22) RCT X 133678-83-8

STAGE(1)
RGT Q 16940-66-2 NaBH4
SOL 64-17-5 EtOH

STAGE(2)
RGT R 7647-01-0 HCl
SOL 7732-18-5 Water
PRO BI 141045-53-6, BJ 141116-75-8

RX(30) RCT BI 141045-53-6

STAGE(1)
SOL 108-88-3 PhMe

STAGE(2)
RGT BX 603-35-0 PPh3, BY 288-32-4 1H-Imidazole, BZ 7553-56-2 I2

STAGE(3)
RGT CA 144-55-8 NaHCO3
SOL 7732-18-5 Water

STAGE(4)
RGT BZ 7553-56-2 I2

STAGE(5)
RGT CB 7772-98-7 Na2S2O3
SOL 7732-18-5 Water
PRO BK 141045-55-8

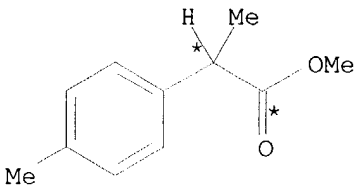
RX(23) RCT BK 141045-55-8
RGT BM 6674-22-2 DBU
PRO BL 141045-59-2
SOL 109-99-9 THF

RX(24) RCT BL 141045-59-2, BN 917-54-4

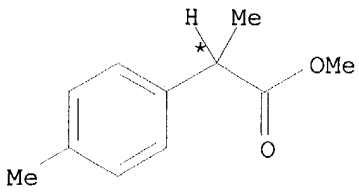
STAGE(1)
SOL 60-29-7 Et2O

STAGE(2)
RGT AK 12125-02-9 NH4Cl
SOL 7732-18-5 Water
PRO BG **141045-52-5**, BO 141045-60-5, BP 141045-61-6

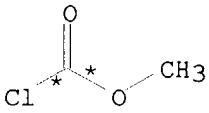
RX(472) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(7), RX(16), RX(17), RX(18), RX(19), RX(20)
RX(472) 4 **E** + 4 F + 4 U + 3 AU + 2 AH ===> **BG**



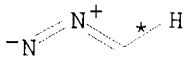
E



3 E

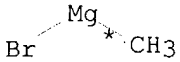


4 F



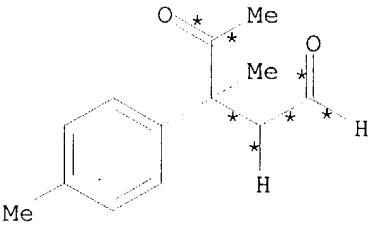
4 U

3 AU



2 AH

10 STEPS



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(7) RCT V 133678-80-5
PRO C 124909-09-7, X 133678-83-8, Y 141045-40-1

CAT 121-44-8 Et3N, 20667-12-3 Ag2O
SOL 67-56-1 MeOH
NTE key step

RX(16) RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17) RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18) RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

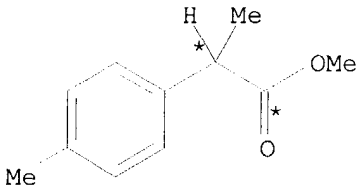
RX(19) RCT BA 141045-48-9, AH 75-16-1
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(20) RCT BE 141045-50-3

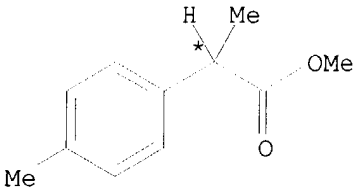
STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

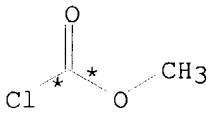
RX(473) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(7), RX(16), RX(17), RX(18), RX(19), RX(35)
RX(473) 4 **E** + 4 F + 4 U + 3 AU + 2 AH ===> **BG**



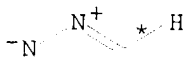
2 E



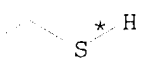
2 E



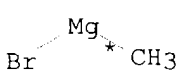
4 F



4 U

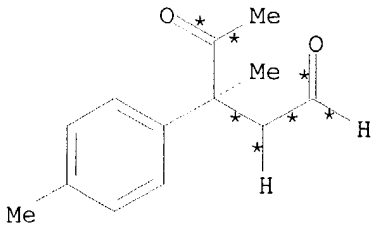


3 AU



2 AH

10 STEPS



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(7) RCT V 133678-80-5
PRO C 124909-09-7, X 133678-83-8, Y 141045-40-1
CAT 121-44-8 Et3N, 20667-12-3 Ag2O
SOL 67-56-1 MeOH
NTE key step

RX(16) RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17) RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18) RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

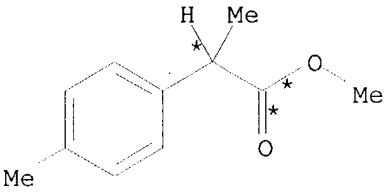
RX(19) RCT BA 141045-48-9, AH 75-16-1
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(35) RCT BF 141045-51-4

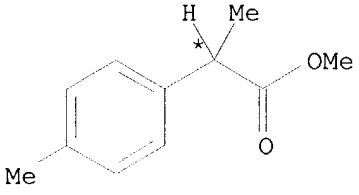
STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

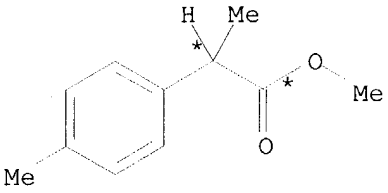
RX(474) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(9), RX(16), RX(17), RX(18), RX(19), RX(20)
RX(474) 3 **E** + 3 F + 3 U + 3 AU + 2 AH ===> **BG**



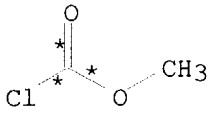
E



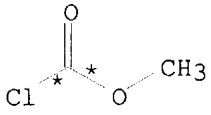
E



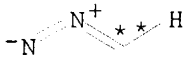
E



2 F

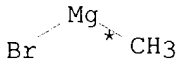


F



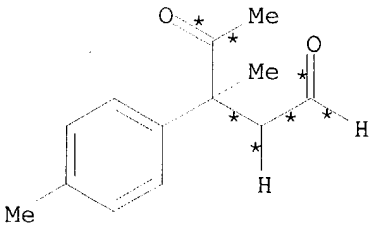
3 U

3 AU



2 AH

10
STEPS



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(9) RCT V 133678-80-5
RGT R 7647-01-0 HCl
PRO X 133678-83-8, Y 141045-40-1
SOL 7732-18-5 Water, 109-99-9 THF

RX(16) RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17) RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18) RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

RX(19) RCT BA 141045-48-9, AH 75-16-1

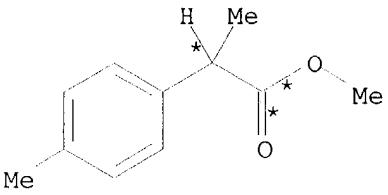
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(20) RCT BE 141045-50-3

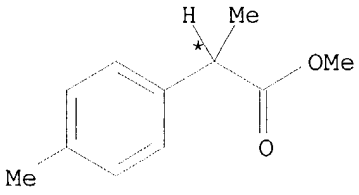
STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

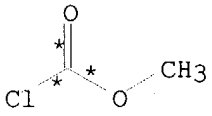
RX(475) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(9), RX(16), RX(17), RX(18), RX(19), RX(35)
RX(475) 3 **E** + 3 F + 3 U + 3 AU + 2 AH ===> **BG**



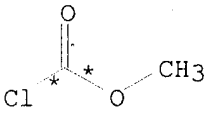
2 E



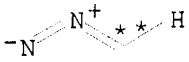
E



2 F

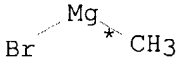


F



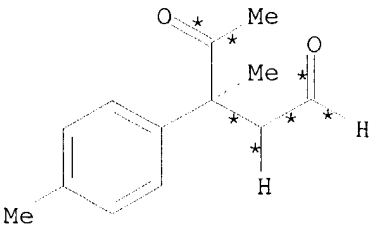
3 U

3 AU



2 AH

10
STEPS



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)

RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RGT F 79-22-1
PRO G 127047-04-5

RX(4)
RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31)
RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6)
RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(9)
RCT V 133678-80-5
RGT R 7647-01-0 HCl
PRO X 133678-83-8, Y 141045-40-1
SOL 7732-18-5 Water, 109-99-9 THF

RX(16)
RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17)
RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18)
RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

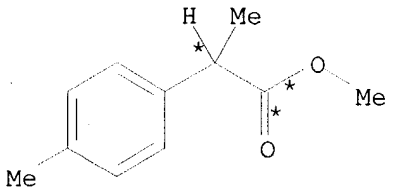
RX(19)
RCT BA 141045-48-9, AH 75-16-1
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(35)
RCT BF 141045-51-4

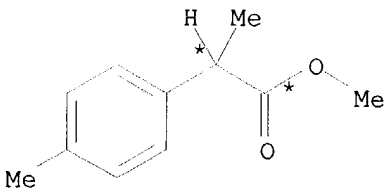
STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

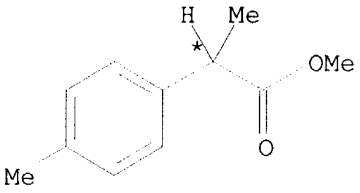
RX(532) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(7), RX(32), RX(16), RX(17), RX(18), RX(19), RX(20)
RX(532) 5 **E** + 5 F + 5 U + 3 AU + 2 AH ===> **BG**



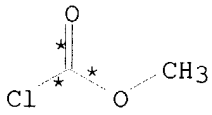
E



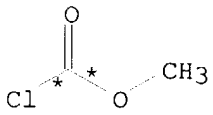
E



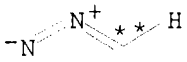
3 E



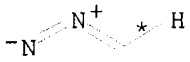
2 F



3 F

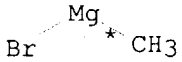


U



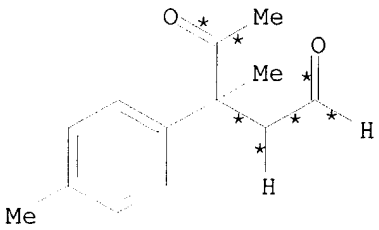
4 U

3 AU



2 AH

11
STEPS



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water

NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(7) RCT V 133678-80-5
PRO C 124909-09-7, X 133678-83-8, Y 141045-40-1
CAT 121-44-8 Et3N, 20667-12-3 Ag2O
SOL 67-56-1 MeOH
NTE key step

RX(32) RCT Y 141045-40-1
PRO X 133678-83-8
SOL 865-49-6 CDCl3

RX(16) RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17) RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18) RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

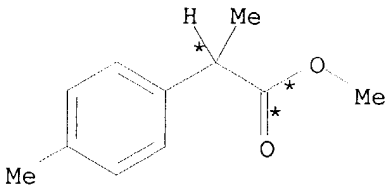
RX(19) RCT BA 141045-48-9, AH 75-16-1
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(20) RCT BE 141045-50-3

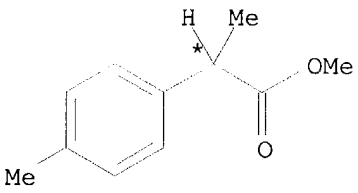
STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

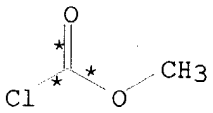
RX(533) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(7), RX(32), RX(16), RX(17), RX(18), RX(19), RX(35)
RX(533) 5 **E** + 5 F + 5 U + 3 AU + 2 AH ===> **BG**



2 E

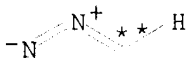


3 E

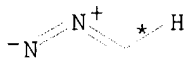


2 F

3 F

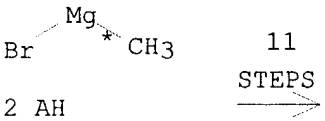


U



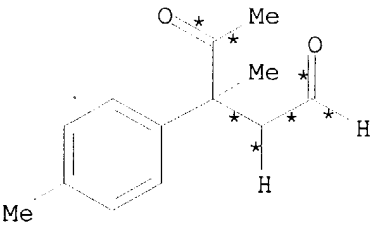
4 U

3 AU



2 AH

11
STEPS



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7

SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(7) RCT V 133678-80-5
PRO C 124909-09-7, X 133678-83-8, Y 141045-40-1
CAT 121-44-8 Et3N, 20667-12-3 Ag2O
SOL 67-56-1 MeOH
NTE key step

RX(32) RCT Y 141045-40-1
PRO X 133678-83-8
SOL 865-49-6 CDCl3

RX(16) RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17) RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18) RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

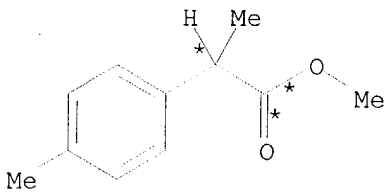
RX(19) RCT BA 141045-48-9, AH 75-16-1
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(35) RCT BF 141045-51-4

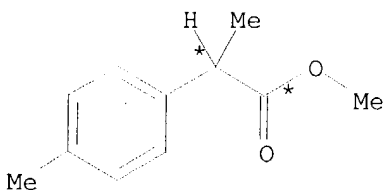
STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

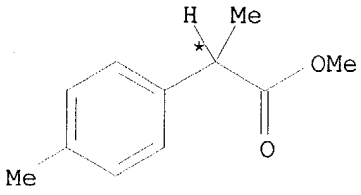
RX(534) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(9), RX(32), RX(16), RX(17), RX(18), RX(19), RX(20)
RX(534) 4 **E** + 4 F + 4 U + 3 AU + 2 AH ===> **BG**



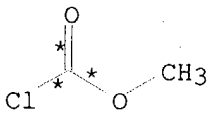
E



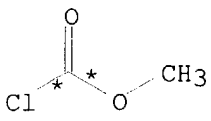
E



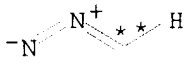
2 E



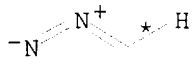
2 F



2 F

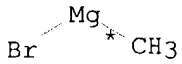


U



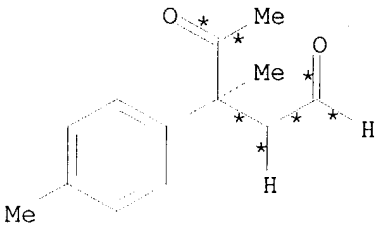
3 U

3 AU



2 AH

11
STEPS



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(9) RCT V 133678-80-5

RGT R 7647-01-0 HCl
PRO X 133678-83-8, Y 141045-40-1
SOL 7732-18-5 Water, 109-99-9 THF

RX(32) RCT Y 141045-40-1
PRO X 133678-83-8
SOL 865-49-6 CDCl3

RX(16) RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17) RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18) RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

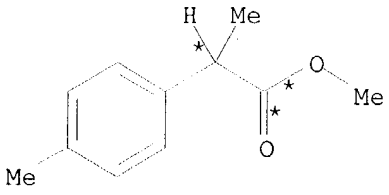
RX(19) RCT BA 141045-48-9, AH 75-16-1
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(20) RCT BE 141045-50-3

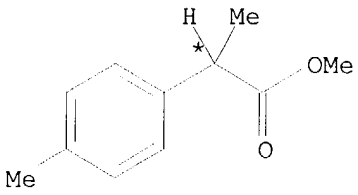
STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

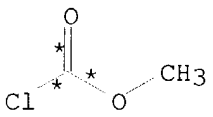
RX(535) OF 573 COMPOSED OF RX(3), RX(4), RX(31), RX(6), RX(9), RX(32), RX(16), RX(17), RX(18), RX(19), RX(35)
RX(535) 4 **E** + 4 F + 4 U + 3 AU + 2 AH ===> **BG**



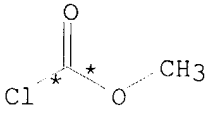
2 E



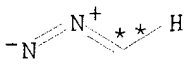
2 E



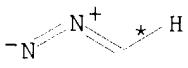
2 F



2 F

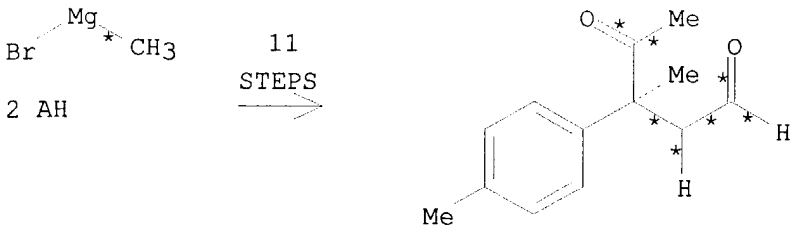


U



3 U

3 AU



BG
YIELD 97%

RX(3) RCT E **79443-97-3**

STAGE(1)
RGT H 108-18-9 i-Pr2NH, I 109-72-8 BuLi
SOL 109-99-9 THF

STAGE(2)
RCT F 79-22-1
PRO G 127047-04-5

RX(4) RCT G 127047-04-5
RGT L 1310-73-2 NaOH
PRO K 127047-05-6
CAT 9013-79-0 Esterase
SOL 7732-18-5 Water
NTE regioselective enzymic key step; (pH 7.2)

RX(31) RCT K 127047-05-6
RGT AM 79-37-8 (COCl)2
PRO T 141045-62-7
SOL 71-43-2 Benzene

RX(6) RCT T 141045-62-7, U 334-88-3
PRO V 133678-80-5
SOL 60-29-7 Et2O

RX(9) RCT V 133678-80-5
RGT R 7647-01-0 HCl
PRO X 133678-83-8, Y 141045-40-1
SOL 7732-18-5 Water, 109-99-9 THF

RX(32) RCT Y 141045-40-1
PRO X 133678-83-8
SOL 865-49-6 CDCl3

RX(16) RCT X 133678-83-8, AU 540-63-6
PRO AV 141045-46-7
CAT 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

RX(17) RCT AV 141045-46-7
RGT AZ 7440-02-0 Ni
PRO AX 141116-74-7, AY 141045-47-8
SOL 64-17-5 EtOH
NTE Raney Ni

RX(18) RCT AX 141116-74-7
RGT BC 1191-15-7 AlH(Bu-i)2
PRO BA 141045-48-9, BB 141045-49-0
SOL 108-88-3 PhMe

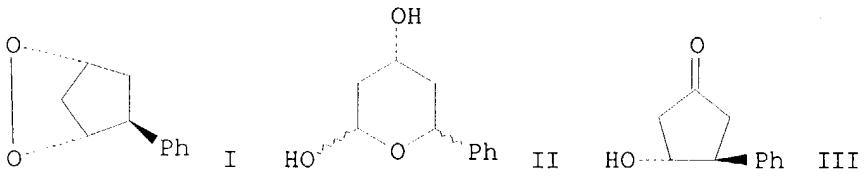
RX(19) RCT BA 141045-48-9, AH 75-16-1
PRO BE 141045-50-3, BF 141045-51-4
SOL 60-29-7 Et2O

RX(35) RCT BF 141045-51-4

STAGE(1)
RGT AM 79-37-8 (COCl)2, AN 67-68-5 DMSO
SOL 75-09-2 CH2Cl2

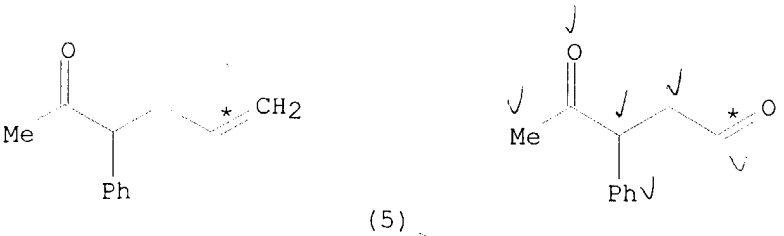
STAGE(2)
RGT P 121-44-8 Et3N
PRO BG **141045-52-5**
NTE STEREOISOMERIC REACTANT PRESENT

L20 ANSWER 5 OF 6 CASREACT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 110:114498 CASREACT
TITLE: Electrophile-initiated conversion of a prostaglandin endoperoxide model compound to the thromboxane B skeleton
AUTHOR(S): Takahashi, Kimio; Kishi, Morio
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan
SOURCE: Tetrahedron Letters (1988), 29(36), 4595-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Reaction of the simplified prostaglandin endoperoxide model I with ferric or cupric ion afforded the lactols II contg. the thromboxane B ring moiety, along with ketol III, MeCOCH2CHPhCHO, and MeCOCHPhCH2CHO.

RX(5) OF 7 ...**K** ===> **E**



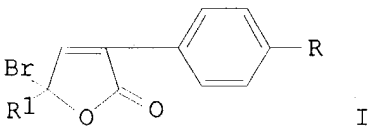
YIELD 89%

RX(5) RCT K **26965-15-1**

STAGE(1)
RGT L 20816-12-0 OsO4

STAGE(2)
RGT M 7790-28-5 NaIO4
PRO E **89969-01-7**

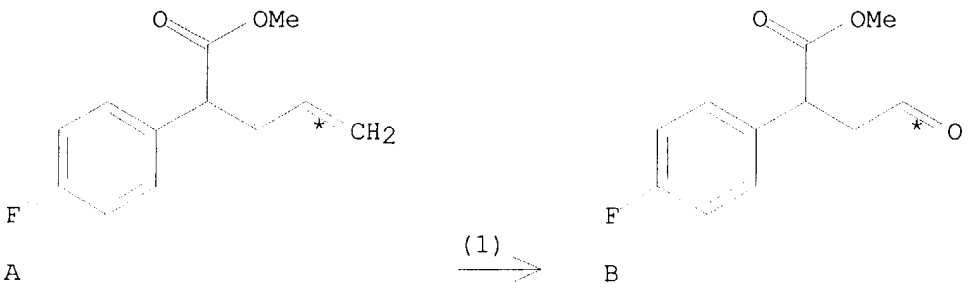
L20 ANSWER 6 OF 6 CASREACT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 89:179761 CASREACT
TITLE: Antineoplastic agents. 58. Synthesis of 3-aryl-5-bromo-2(5H)-furanones
AUTHOR(S): Edgar, Mark T.; Pettit, George R.; Smith, Thomas H.
CORPORATE SOURCE: Cancer Res. Inst., Arizona State Univ., Tempe, AZ, USA
SOURCE: Journal of Organic Chemistry (1978), 43(21), 4115-20
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Alkylation of p-RC6H4CH2CO2H with (Me2CH)2NLi and H2C:CHCH2Br and esterification with CH2N2 gave p-RC6H4CH(CH2CH:CH2)CO2Me, ozonolysis of which gave p-RC6H4CH(CH2CHO)CO2Me, which was treated with Br-HOAc to give I (R = H, R1 = H, Br, OAc, OH; R = Cl, F; R1 = H).

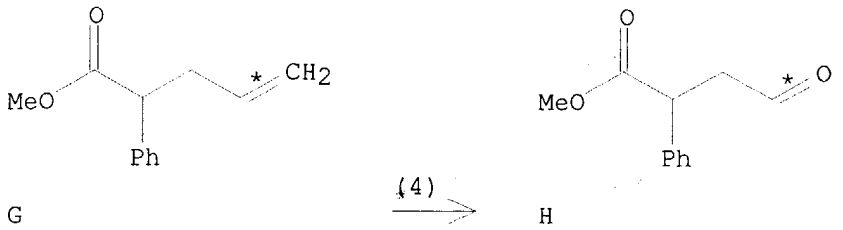
RX(1) OF 26 **A** ===> **B**...



A B

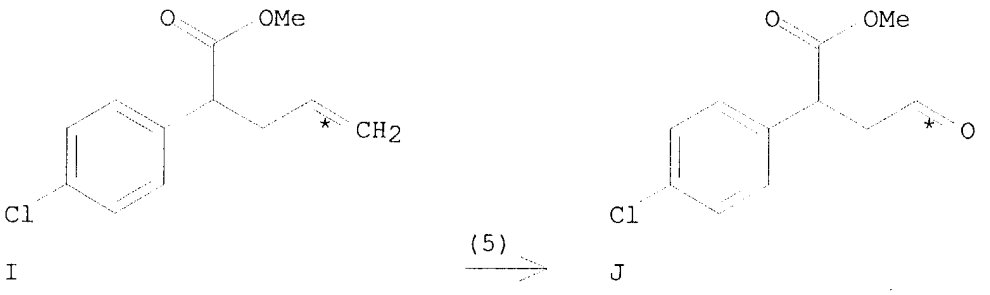
RX(1) RCT A **67031-08-7**
PRO B **67031-14-5**
SOL 75-18-3 Me2S

RX(4) OF 26 **G** ===> **H**...



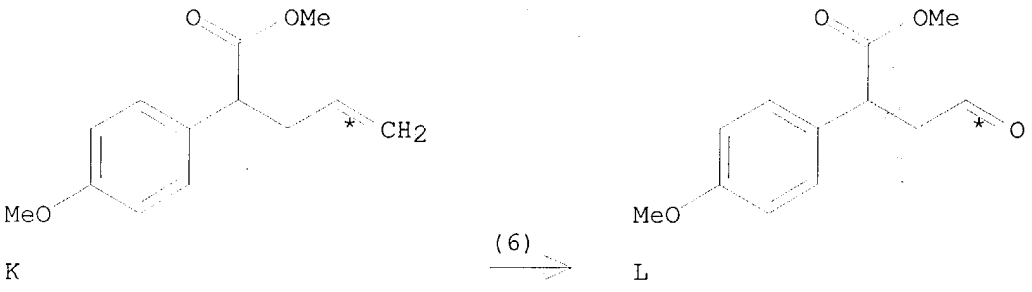
RX(4) RCT G **14815-73-7**
PRO H **67031-12-3**
SOL 75-18-3 Me2S

RX(5) OF 26 **I** ===> **J...**



RX(5) RCT I **67031-09-8**
PRO J **67031-16-7**
SOL 75-18-3 Me2S

RX(6) OF 26 **K** ===> **L**



RX(6) RCT K **67031-10-1**
PRO L **67031-18-9**
SOL 75-18-3 Me2S

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